

10/598,623

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(FILE 'HOME' ENTERED AT 13:24:49 ON 16 FEB 2011)

FILE 'CAPLUS' ENTERED AT 13:24:58 ON 16 FEB 2011

L1 0 S 20080269480/PN  
L2 1 S US20080269480/PN  
SELECT RN L2 1-

FILE 'REGISTRY' ENTERED AT 13:25:19 ON 16 FEB 2011

L3 10 S E1-10  
L4 7 S L3 AND 6-6-7/SZ  
L5 3 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 13:26:16 ON 16 FEB 2011

L6 1146 S L4  
L7 ANALYZE L6 1- RN HIT : 7 TERMS

FILE 'REGISTRY' ENTERED AT 13:27:29 ON 16 FEB 2011

L8 1 S 28721-07-5/RN  
L9 1 S 33948-22-0/RN  
L10 1 S 4698-11-7/RN  
L11 1 S 40421-03-2/RN  
L12 4 S L8 OR L9 OR L10 OR L11  
L13 3 S L4 NOT L12

FILE 'CAPLUS' ENTERED AT 13:29:43 ON 16 FEB 2011

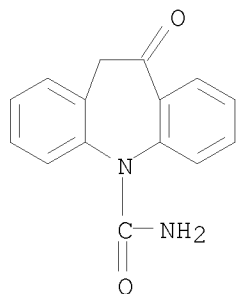
L14 1049 S L8  
L15 48 S L10  
L16 10 S L11  
L17 1 S L13  
L18 54 S L15 OR L16 OR L17  
L19 24 S L14 AND L18  
L20 30 S L16 OR L19  
L21 54 S L20 OR L15  
L22 48 S L21 NOT (2011/SO OR 2010/SO OR 2009/SO OR 2008/SO OR 2007/SO

=> d scan l8

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

10/598,623

L8 1 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN  
IN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-  
MF C15 H12 N2 O2  
CI COM

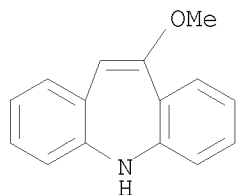


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

10/598,623

L10 1 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN  
IN 5H-Dibenz[b,f]azepine, 10-methoxy-  
MF C15 H13 N O

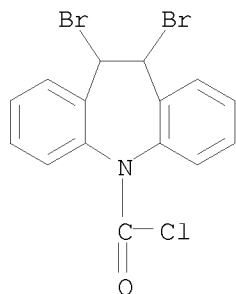


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

10/598,623

L11 1 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN  
IN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
MF C15 H10 Br2 Cl N O

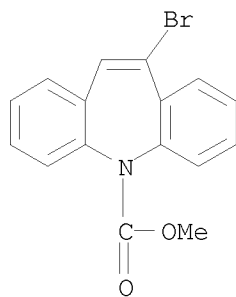


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

10/598,623

L13 3 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN  
IN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10-bromo-, methyl ester  
MF C16 H12 Br N O2

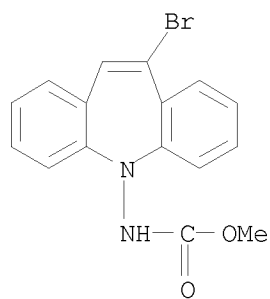


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

10/598,623

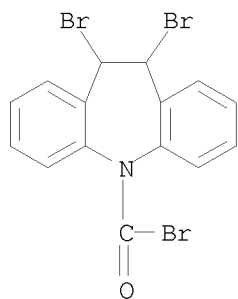
L13 3 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN  
IN INDEX NAME NOT YET ASSIGNED  
MF C16 H13 Br N2 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/598,623

L13 3 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN  
IN 5H-Dibenz[b,f]azepine-5-carbonyl bromide, 10,11-dibromo-10,11-dihydro-  
MF C15 H10 Br3 N O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

L22 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:1433181 CAPLUS

DOCUMENT NUMBER: 151:550447

TITLE: An improved process for the preparation of  
oxcarbazepineINVENTOR(S): Karusala, Nageswara Rao; Tummalapally, Uma Sankara  
Sastry; Talatala, Appi Reddy; Datta, Debashish

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009139001	A2	20091119	WO 2009-IN272	20090506
WO 2009139001	A3	20110127		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: IN 2008-CH1135 A 20080508  
IN 2008-CH1678 A 20080710

OTHER SOURCE(S): CASREACT 151:550447

AB The present invention relates to an improved process for the preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) by reacting 10-methoxy-5H-dibenz[b,f]azepine (10-methoxyiminostilbene) with alkali metal cyanate in presence of  $\alpha$ -hydroxy acids followed by hydrolysis of the resulting intermediate. Thus, refluxing a mixture of 10-methoxyiminostilbene with sodium cyanate and mandelic acid in toluene afforded 10-methoxycarbamazepine which was subsequently treating with concentrate HCl to provide oxcarbazepine. This invention also relates to the process for the preparation of carbamazepine from iminostilbene. Further the present invention is directed to the novel crystalline form of 10-methoxycarbamazepine.

IT 28721-07-5P, Oxcarbazepine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

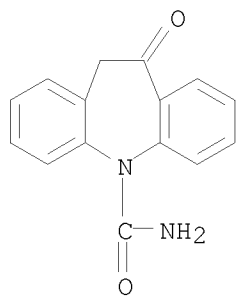
(improved process for the preparation of oxcarbazepine from 10-methoxyiminostilbene)

RN 28721-07-5 CAPLUS

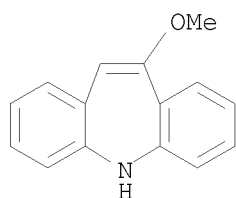
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



10/598,623



IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(improved process for the preparation of oxcarbazepine from  
10-methoxyiminostilbene)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

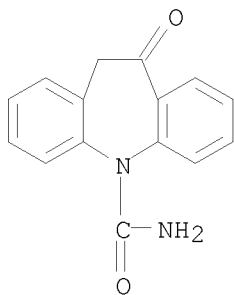


L22 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:800495 CAPLUS  
 DOCUMENT NUMBER: 151:56740  
 TITLE: Preparation of iminostilbene derivatives  
 INVENTOR(S): Milanese, Alberto  
 PATENT ASSIGNEE(S): Milanese Alberto, Italy  
 SOURCE: Ital. Appl., 18 pp.  
 CODEN: ITXXCZ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Italian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

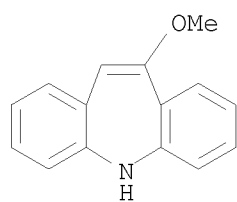
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 2004RM0260	A1	20040826	IT 2004-RM260	20040526
IT 1351663	B1	20090114		

PRIORITY APPLN. INFO.: IT 2004-RM260 20040526  
 OTHER SOURCE(S): CASREACT 151:56740  
 AB Treatment of 10-methoxyiminostilbene with triphosgene in toluene containing triethylamine afforded the N-chlorocarbonyl derivative, which underwent ammonolysis and subsequent hydrolysis to yield oxcarbazepine.  
 IT 28721-07-5P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of iminostilbene derivs.)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of iminostilbene derivs.)  
 RN 4698-11-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



L22 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:565201 CAPLUS

DOCUMENT NUMBER: 150:563666

TITLE: Method for synthesizing  
10-methoxy-5H-dibenzo[b,f]azepine

INVENTOR(S): Chen, Shiming; Xu, Xuwei

PATENT ASSIGNEE(S): Zhejiang Jiuzhou Pharmaceutical Co., Ltd., Peop. Rep.  
ChinaSOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp.  
CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101423496	A	20090506	CN 2008-10203842	20081202
PRIORITY APPLN. INFO.:			CN 2008-10203842	20081202

OTHER SOURCE(S): CASREACT 150:563666

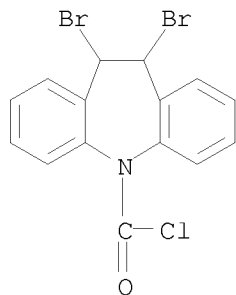
AB The title method comprises: carrying out a reaction of 10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carbonyl chloride (I) and alkali metal hydroxide or alkali metal alkoxide in mixed solvent to obtain 10-methoxy-5H-dibenzo[b,f]azepine (II). The mixed solvent contains methanol and aromatic solvent. The alkali metal hydroxide is selected from potassium hydroxide, and the alkali metal alkoxide is selected from potassium methoxide. In detail, the method comprises: adding potassium hydroxide or potassium methoxide in methanol, heating to reflux, adding aromatic solvent and I, heating to reflux for 6-8 h, adding water, stirring, washing with water, standing, removing water layer, vacuum-evaporating, cooling, filtering, and drying to obtain II. The method is simple, has high yield, and is suitable for large-scale production

IT 40421-03-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis of 10-methoxydibenzoazepine)

RN 40421-03-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
(CA INDEX NAME)



IT 4698-11-7P

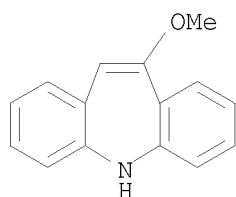
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(synthesis of 10-methoxydibenzoazepine)

RN 4698-11-7 CAPLUS

10/598,623

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L22 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:345604 CAPLUS

DOCUMENT NUMBER: 150:398376

TITLE: Improved method for synthesizing  
 10-methoxy-5H-dibenz[b,f]azepine from  
 10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine-N-  
 carbonyl chloride

INVENTOR(S): Chen, Shiming; Xu, Xuwei

PATENT ASSIGNEE(S): Zhejiang Jiuzhou Pharmaceutical Co., Ltd., Peop. Rep.  
China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 6pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101386595	A	20090318	CN 2008-10201851	20081028
PRIORITY APPLN. INFO.:			CN 2008-10201851	20081028

OTHER SOURCE(S): CASREACT 150:398376

AB The title method comprises adding KOH or KOCH<sub>3</sub> in methanol, refluxing, adding 10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine-N-carbonyl chloride, refluxing, vacuum distilling to recover methanol, adding water, stirring, filtering, drying to obtain crude product, and recrystg. The improved method has the advantages of rational technol. process, high yield, and suitability for mass production

IT 40421-03-2

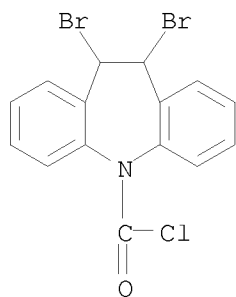
RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of 10-methoxy-5H-dibenz[b,f]azepine from

10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine-N-carbonyl chloride)

RN 40421-03-2 CAPLUS

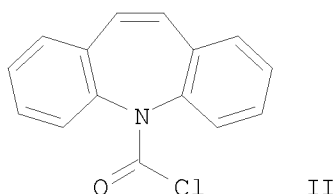
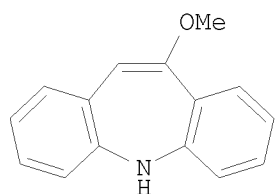
CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
 (CA INDEX NAME)



L22 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:927510 CAPLUS  
 DOCUMENT NUMBER: 150:494761  
 TITLE: More efficient synthesis of alkoxybenzazepines  
 INVENTOR(S): Ambady, Rajagopalan; Chaphekar, Sachin  
 PATENT ASSIGNEE(S): Atul Ltd., India  
 SOURCE: Indian Pat. Appl., 7pp.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2006MU01460	A	20080725	IN 2006-MU1460	20060914
PRIORITY APPLN. INFO.:			IN 2006-MU1460	20060914
OTHER SOURCE(S):	CASREACT 150:494761			
GI				



AB This invention relates to the preparation of 10-methoxy-5H-dibenz[b,f]azepine (I) which is a key intermediate for preparation of the anticonvulsant oxcarbazepine by two step reaction starting from iminostilbene carbonyl chloride (II) instead of iminostilbene. The reaction involves bromination of II followed by methoxylation by sodium methoxide in methanol. This process allows to avoid one step of protection of secondary amino group via acetylation.

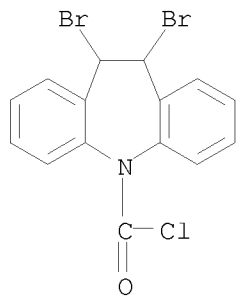
IT 40421-03-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (more efficient synthesis of alkoxybenzazepines)

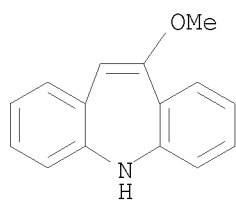
RN 40421-03-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
 (CA INDEX NAME)

10/598,623



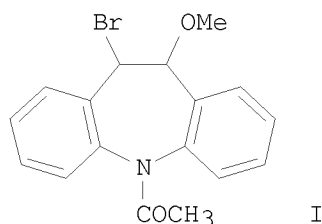
IT 4698-11-7P, 10-Methoxy-5H-dibenz[b,f]azepine  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(more efficient synthesis of alkoxybenzazepines)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)





L22 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2007:1420686 CAPLUS  
 DOCUMENT NUMBER: 148:54911  
 TITLE: Process for producing oxcarbazepine via an  
 11-alkoxy-10-halo-dihydroiminostilbene intermediate  
 INVENTOR(S): Gupta, Nitin; Singh, Harnam; Kumar, Pramod; Dubey,  
 Sushil Kumar  
 PATENT ASSIGNEE(S): Jubilant Organosys Limited, India  
 SOURCE: PCT Int. Appl., 24pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141798	A1	20071213	WO 2006-IN190	20060607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2008DN10040	A	20090327	IN 2008-DN10040	20081202
PRIORITY APPLN. INFO.:			WO 2006-IN190	W 20060607
OTHER SOURCE(S):		CASREACT 148:54911		
GI				

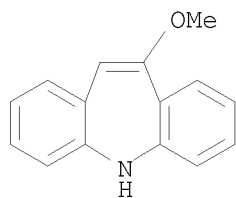


AB The invention relates to a process for the production of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine), which is used in therapy as an anticonvulsant. For instance, protection of iminostilbene followed by halogenation in presence of methanol gave the intermediate compound (I). Dehydrohalogenation of the compound I followed by deprotection, carboxamidation, and hydrolysis gave the oxcarbazepine.

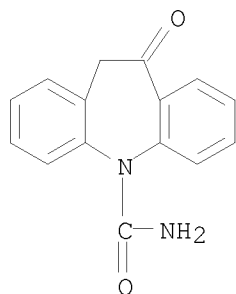
IT 4698-11-7P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of oxcarbazepine as anticonvulsant)

10/598,623

RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



IT 28721-07-5P, Oxcarbazepine  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of oxcarbazepine as anticonvulsant)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX  
NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:795700 CAPLUS

DOCUMENT NUMBER: 147:235030

TITLE: Process for preparation of Oxcarbazepine and intermediates

INVENTOR(S): Zhang, Shengjian; Ying, Liyan; Zhang, Hong

PATENT ASSIGNEE(S): Ningbo Institute of Technology, Zhejiang University, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing, 8pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 100999497	A	20070718	CN 2006-10155099	20061208
CN 100488951	C	20090520		

PRIORITY APPLN. INFO.: CN 2006-10155099 20061208

OTHER SOURCE(S): CASREACT 147:235030; MARPAT 147:235030

AB This invention provides a process for the preparation of Oxcarbazepine and intermediates comprising halogenation, ammonolysis, and hydrolysis. For example, 5H-dibenz[b,f]azepine-5-carbonyl chloride was halogenated with bromine in chloroform to give 10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carbonyl chloride (93%). The dibromo intermediate obtained in the previous step was reacted with ammonia in chloroform to give 10-bromo-5H-dibenz[b,f]azepine-5-carboxamide (98%). The carboxamide obtained in the previous step was hydrolyzed in 96% sulfuric acid to give Oxcarbazepine (68%). The process has the advantages of short synthetic route, high yield, and low cost.

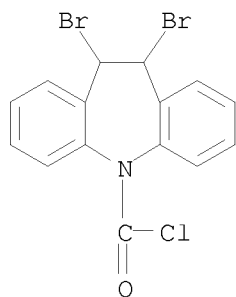
IT 40421-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of Oxcarbazepine and intermediates)

RN 40421-03-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro- (CA INDEX NAME)



IT 28721-07-5P, Oxcarbazepine

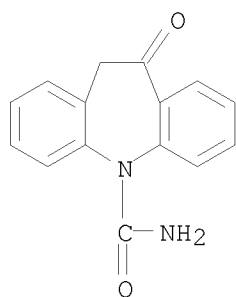
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of Oxcarbazepine and intermediates)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX

10/598,623

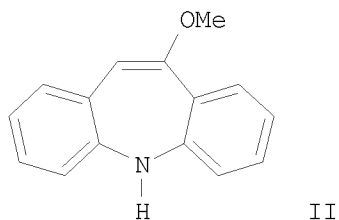
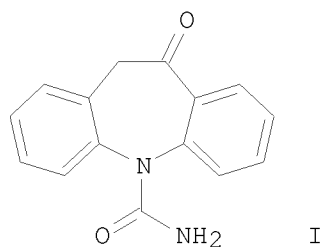
NAME)



L22 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2007:366651 CAPLUS  
 DOCUMENT NUMBER: 147:522114  
 TITLE: A process for preparation of oxcarbazepine  
 INVENTOR(S): Chandrashekar, Parenky  
 PATENT ASSIGNEE(S): Amoli Organics Pvt Ltd., India  
 SOURCE: Indian Pat. Appl., 10pp.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2004MU00663	A	20060505	IN 2004-MU663	20040618
IN 223441	A1	20090206		
PRIORITY APPLN. INFO.:			IN 2004-MU663	20040618
OTHER SOURCE(S):		CASREACT 147:522114		

GI



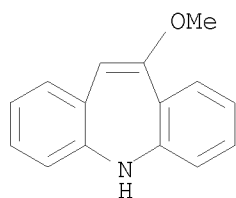
AB The invention relates to a process for the preparation of oxcarbazepine (I) from 10-methoxyiminostilbene (II). Oxcarbazepine is an anticonvulsant agent used for the treatment of Parkinson's disease and AIDS-related neural disorders. The process of the invention gives good quality and yield of oxcarbazepine, avoiding the use of hazardous materials, and higher temps., making the process more com. attractive. The target compound may be prepared according to the process of the invention as shown by the following example. Addition of II to sodium cyanate in the presence of chloroacetic acid in chloroform at 10-15 °C for 6 h gave the N-carbamoyl derivative of II, which underwent cleavage with p-toluenesulfonic acid at 75-80 °C in toluene to give oxcarbazepine (I) in 66% yield.

IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; process for preparation of oxcarbazepine)

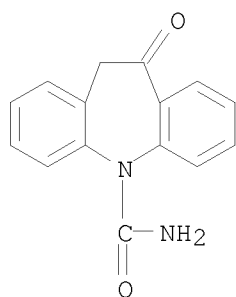
RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



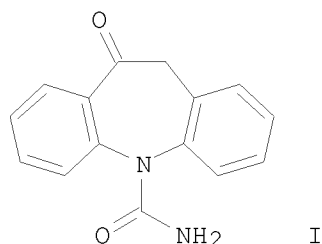
IT 28721-07-5P, Oxcarbazepine  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(target compound; process for preparation of oxcarbazepine)  
RN 28721-07-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX  
NAME)



L22 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

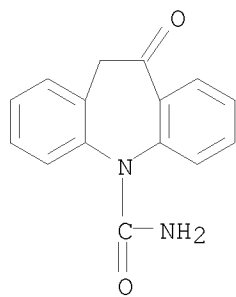
ACCESSION NUMBER: 2007:249527 CAPLUS  
 DOCUMENT NUMBER: 147:427241  
 TITLE: A process for the purification of oxcarbazepine  
 INVENTOR(S): Venkataraman, Sundaram; Eswaraiah, Saja; Reddy, Koppera Ravindar; Satyanarayana, Revu  
 PATENT ASSIGNEE(S): Reddys Laboratories Limited, India  
 SOURCE: Indian Pat. Appl., 8pp.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2004CH00142	A	20051202	IN 2004-CH142	20040223
PRIORITY APPLN. INFO.:			IN 2004-CH142	20040223
OTHER SOURCE(S):	CASREACT 147:427241			
GI				



AB Accordingly, the invention provides a process for the purification of oxcarbazepine. Oxacarbazepine dissolved in aqueous basic solution extracting with organic solvents and acidifying the aqueous solution followed by filtration of the separated solid by conventional methods to obtain pure Oxacarbazepine. Oxacarbazepine can be represented by formula (I).  
 IT 28721-07-5P, Oxacarbazepine  
 RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)  
 (a process for the purification of oxcarbazepine)  
 RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

10/598,623

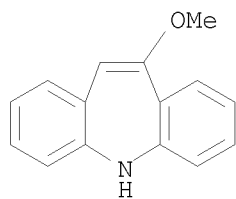


IT 4698-11-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(a process for the purification of oxcarbazepine)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)





L22 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1333900 CAPLUS

DOCUMENT NUMBER: 144:69748

TITLE: Process for the preparation of oxcarbazepine and related intermediates

INVENTOR(S): Che, Daqing; Corelli-Rennie, Nadia; Guntoori, Bhaskar Reddy; Faught, Jodi

PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 6 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

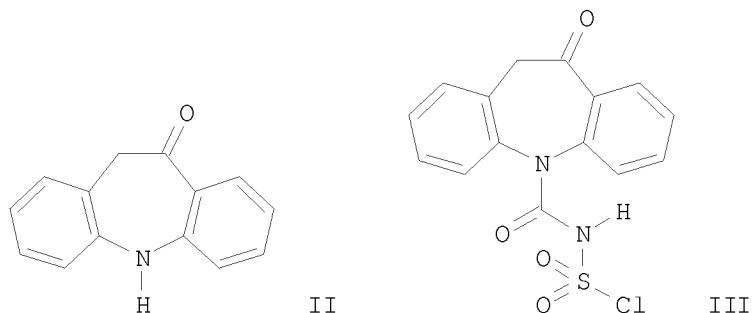
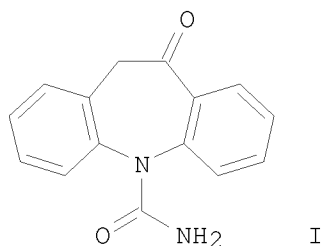
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050282797	A1	20051222	US 2005-153370	20050616
US 7125987	B2	20061024		
CA 2471666	A1	20051218	CA 2004-2471666	20040618
CA 2471666	C	20091013		
WO 2005122671	A2	20051229	WO 2005-CA932	20050616
WO 2005122671	A3	20071108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
EP 1765786	A2	20070328	EP 2005-759468	20050616
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.: CA 2004-2471666 A 20040618  
WO 2005-CA932 W 20050616

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:69748

GI



AB A process for preparing oxcarbazepine I, a more tolerable alternative to the popular anticonvulsant drug carbamazepine, comprising: (a) reacting oximinostilbene II with chlorosulfonyl isocyanate in an inert organic solvent and isolating compound III, (b) hydrolyzing III to form crude oxcarbazepine I, and (c) purifying oxcarbazepine.

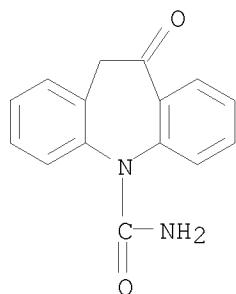
IT 28721-07-5P, Oxcarbazepine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for the preparation of oxcarbazepine and related intermediates)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7

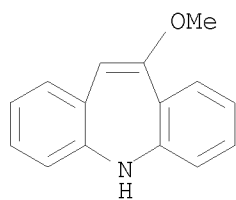
RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the preparation of oxcarbazepine and related intermediates)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	10	THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1257994 CAPLUS

DOCUMENT NUMBER: 144:22826

TITLE: Process for the preparation of oxcarbazepine

INVENTOR(S): Milanese, Alberto

PATENT ASSIGNEE(S): Italy

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

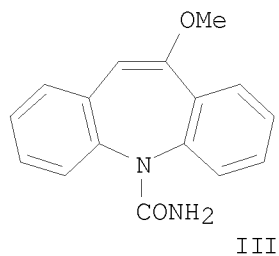
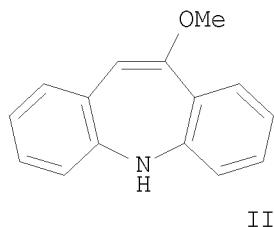
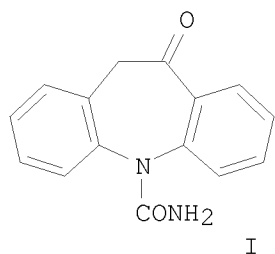
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1600443	A1	20051130	EP 2004-425379	20040526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
WO 2005118550	A1	20051215	WO 2005-EP3890	20050413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1748988	A1	20070207	EP 2005-733290	20050413
EP 1748988	B1	20101110		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
AT 487701	T	20101115	AT 2005-733290	20050413
PRIORITY APPLN. INFO.:			EP 2004-425379	A 20040526
			WO 2005-EP3890	W 20050413
OTHER SOURCE(S):		CASREACT 144:22826		
GI				



AB The preparation of oxcarbazepine (I) from 10-methoxyiminostilbene (II) is

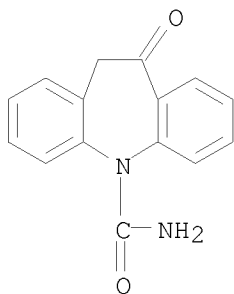
10/598,623

claimed. For example, 66.9 g of II, in presence of 34.92 g of Et<sub>3</sub>N in 800 mL of toluene, is gradually reacted with 32.67 g of triphosgene in 300 mL of toluene for 6 h at temperature of 10-15°. Next, 200 mL of 30% aqueous NH<sub>3</sub> is added to the reaction mixture at room temperature, and after some hours, 69.0 g of 10-methoxy-N-aminocarbonyliminostilbene (III) is obtained with purity > 95%. III is hydrolyzed by refluxing in 100 mL of 10% H<sub>2</sub>SO<sub>4</sub>, and after workup, 57.0 g of I is obtained.

IT 28721-07-5P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of oxcarbazepine from methoxyiminostilbene in three steps)

RN 28721-07-5 CAPLUS

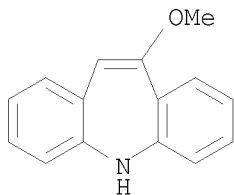
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



IT 4698-11-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of oxcarbazepine from methoxyiminostilbene in three steps)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1123708 CAPLUS

DOCUMENT NUMBER: 143:386937

TITLE: Process for preparation of  
10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-  
carboxamideINVENTOR(S): Muthukumaran, Mandakini; Natarajan, Muthukumaran;  
Thennati, Rajamannar

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005096709	A2	20051020	WO 2005-IN77	20050310
WO 2005096709	A3	20060427		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IN 2004MU00304	A	20061027	IN 2004-MU304	20040311
US 20080269480	A1	20081030	US 2008-598623	20080505
PRIORITY APPLN. INFO.:			IN 2004-MU304	A 20040311
			WO 2005-IN77	W 20050310

OTHER SOURCE(S): CASREACT 143:386937

AB This invention pertains to a method for producing  
10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide which comprises  
bromination, dehydrobromination, and esterification of  
dibenz[b,f]azepine-5-carbonyl chloride.

IT 1044707-75-6

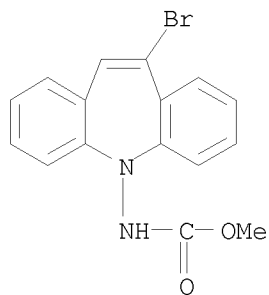
RL: PRPH (Prophetic)

(Process for preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-  
5-carboxamide)

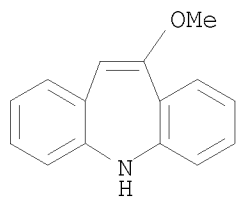
RN 1044707-75-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

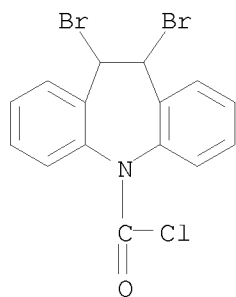
10/598,623



IT 4698-11-7P 40421-03-2P 866873-98-5P  
866874-00-2P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

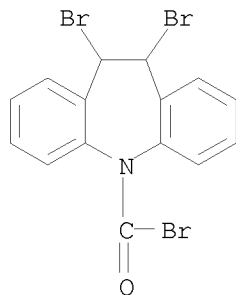


RN 40421-03-2 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro- (CA INDEX NAME)



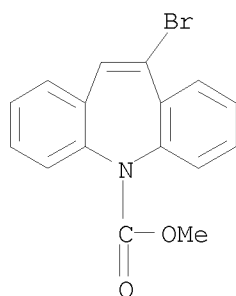
RN 866873-98-5 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carbonyl bromide, 10,11-dibromo-10,11-dihydro- (CA INDEX NAME)

10/598,623



RN 866874-00-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10-bromo-, methyl ester (CA INDEX NAME)



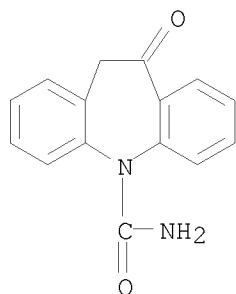
IT 28721-07-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L22 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:1075777 CAPLUS

DOCUMENT NUMBER: 143:367224

TITLE: Process for preparing oxcarbazepine via  
chlorocarbonylation with triphosgeneINVENTOR(S): Banfi, Aldo; Bollini, Deborah; Serra, Maurizio; Di  
Lernia, Gianluca

PATENT ASSIGNEE(S): Clariant International Ltd., Switz.

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

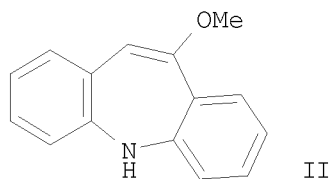
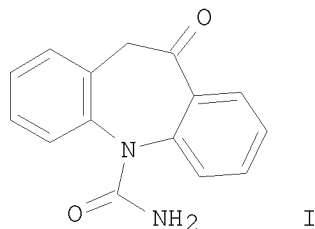
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092862	A1	20051006	WO 2005-IB452	20050221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IT 2004MI0452	A1	20040609	IT 2004-MI452	20040309
IT 1355200	B1	20090220		
EP 1758867	A1	20070307	EP 2005-708576	20050221
EP 1758867	B1	20100929		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007528385	T	20071011	JP 2007-502423	20050221
AT 482935	T	20101015	AT 2005-708576	20050221
IL 175620	A	20101031	IL 2005-175620	20050221
US 20070149507	A1	20070628	US 2006-580145	20060518
US 7858779	B2	20101228		
KR 2007031280	A	20070319	KR 2006-7018221	20060907
PRIORITY APPLN. INFO.:			IT 2004-MI452	A 20040309
			WO 2005-IB452	W 20050221

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:367224

GI

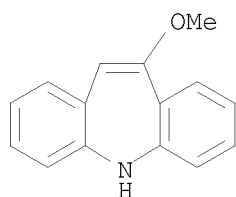


AB Process for preparing oxcarbazepine (I) via chlorocarbonylation of 10-methoxydibenzazepine precursor II with triphosgene as the chlorocarbonylating agent. Subsequent ammonolysis and final hydrolysis gave oxcarbazepine.

IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (process for preparing oxcarbazepine via chlorocarbonylation with triphosgene)

RN 4698-11-7 CAPLUS

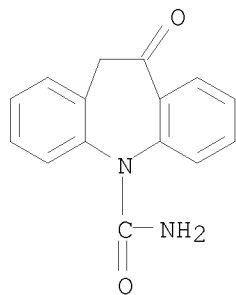
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



IT 28721-07-5P, Oxcarbazepine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (process for preparing oxcarbazepine via chlorocarbonylation with triphosgene)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



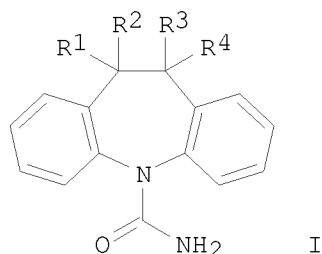
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2005:1004404 CAPLUS  
 DOCUMENT NUMBER: 143:306203  
 TITLE: Preparation of 5H-dibenz[b,f]azepinecarboxamides  
 INVENTOR(S): Sivakumar, Bobba Venkata; Bhirud, Shekhar Bhaskar;  
 Batchu, Chandrasekhar; Kale, Sanjay Anantha  
 PATENT ASSIGNEE(S): Glenmark Generics Ltd., India  
 SOURCE: U.S. Pat. Appl. Publ., 9 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050203297	A1	20050915	US 2005-77723	20050311
US 7459553	B2	20081202		
IN 2004MU00314	A	20060728	IN 2004-MU314	20040312
PRIORITY APPLN. INFO.:			US 2004-552146P	P 20040311

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 143:306203; MARPAT 143:306203  
 GI



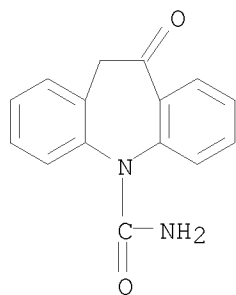
AB The title compds. I [R1, R2, R3, R4 = H, halo, NO2, cyano, CO2H, COR, OCOR, OR, NR2, CONR2, CO2R, R = C1-C10-alkyl, C3-C10-cycloalkyl, C2-C10-alkenyl, C5-C10-cycloalkenyl, C2-C10-alkynyl, C6-C20 aryl; R2 = bond] were prepared For example, 10-methoxyiminostilbene reacted with maleic acid/NaOCN followed by HCl to give oxacarbazepine, I (R1R2 = O, R3 = R4 = H).

IT 28721-07-5P, Oxacarbazepine  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 5H-dibenz[b,f]azepinecarboxamides)

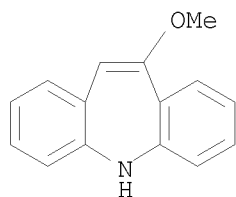
RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

10/598,623



IT 4698-11-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 5H-dibenz[b,f]azepinecarboxamides)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:638851 CAPLUS

DOCUMENT NUMBER: 143:153307

TITLE: Novel process for preparation of  
 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-  
 carboxamide (oxcarbazepine) via intermediate,  
 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride  
 Inventor(S): Parenky, Chandrashekar; Chaturvedi, Rohit  
 Patent Assignee(S): Amoli Organics Ltd., India  
 Source: PCT Int. Appl., 12 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066133	A2	20050721	WO 2004-IN322	20041015
WO 2005066133	A3	20051006		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IN 2003MU01108	A	20050610	IN 2003-MU1108	20031020
EP 1678140	A2	20060712	EP 2004-820974	20041015
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
US 20070032647	A1	20070208	US 2006-576546	20060420
PRIORITY APPLN. INFO.:			IN 2003-MU1108	A 20031020
			WO 2004-IN322	W 20041015

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:153307

AB Novel process for preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine), known anticonvulsant drug, comprising the steps: (a) reacting 10-methoxy-5H-dibenz[b,f]azepine with bis(trichloromethyl) carbonate (BTC) and organic base such as aliphatic or aromatic tertiary amines in organic solvent, (b) conversion of the intermediate acid chloride to 10-methoxy-5H-dibenz[b,f]azepine-5-carboxamide using ammonia in organic solvent, (c) treating the intermediate with Lewis acid in an organic solvent at a temperature between 25°C to 80°C, preferably at 50°C to 70°C, and (d) isolating oxcarbazepine. The main objective of the invention was to provide a cost effective, safe and high yielding process for the production of 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride from 10-methoxy-5H-dibenz[b,f]azepine without the use of phosgene gas.

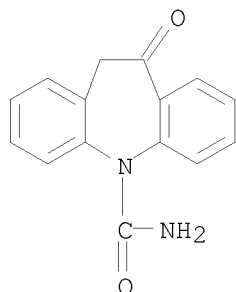
IT 28721-07-5P, Oxcarbazepine  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

10/598,623

(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) via 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



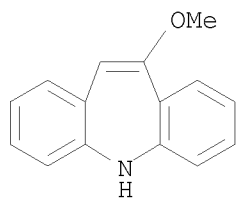
IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) via 10-methoxy-5H-dibenz[b,f]azepine-5-carbonyl chloride)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:474386 CAPLUS

DOCUMENT NUMBER: 143:413800

TITLE: 10-Methoxydibenz[b,f]azepine-5-carboxamide

AUTHOR(S): Nagaraj, Basavegowda; Yathirajan, Hemmige S.;  
Narasegowda, Rajenahally S.; Nagaraja, Padmarajaiah;  
Lynch, Daniel E.CORPORATE SOURCE: Department of Studies in Chemistry, University of  
Mysore, Mysore, 570 006, IndiaSOURCE: Acta Crystallographica, Section E: Structure Reports  
Online (2005), E61(6), o1760-o1761  
CODEN: ACSEBH; ISSN: 1600-5368  
URL: <http://journals.iucr.org/e/issues/2005/06/00/nc6031/index.html>

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB The structure of the title compound, C<sub>16</sub>H<sub>14</sub>N<sub>2</sub>O<sub>2</sub>, contains a seven-membered ring that adopts a boat conformation, and the overall mol. shape is that of a butterfly. In the packing, the mols. form a convoluted H-bonded polymer via a typical R<sub>22</sub>(8) graph-set dimer, between carboxamide groups, and an R<sub>22</sub>(16) graph-set dimer formed through an interaction between the 2nd carboxamide NH group and an adjacent methoxy O atom (in each mol.). The dihedral angle between the benzene rings is 56.09(5)°. Crystallog. data are given.

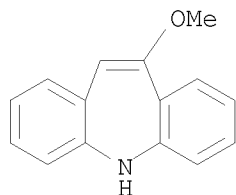
IT 4698-11-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of methoxydibenzazepine with sodium cyanate in presence of  
monochloroacetic acid in toluene)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

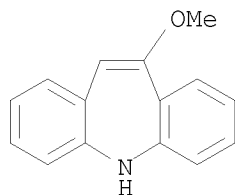


REFERENCE COUNT:

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THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
ACCESSION NUMBER: 2005:474383 CAPLUS  
DOCUMENT NUMBER: 143:413799  
TITLE: 10-Methoxy-5H-dibenz[b,f]azepine  
AUTHOR(S): Nagaraj, Basavegowda; Yathirajan, Hemmige S.; Lynch, Daniel E.  
CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Mysore, 570 006, India  
SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2005), E61(6), o1757-o1759  
CODEN: ACSEBH; ISSN: 1600-5368  
URL: <http://journals.iucr.org/e/issues/2005/06/00/bh6006/index.html>  
PUBLISHER: Blackwell Publishing Ltd.  
DOCUMENT TYPE: Journal; (online computer file)  
LANGUAGE: English  
AB The structure of the title compound, C<sub>15</sub>H<sub>13</sub>NO, has six independent mols. in the asym. unit; in each case, the seven-membered ring adopts a boat conformation and the overall mol. shape is that of a butterfly. All mols. display N-H...C=C close contacts, instead of N-H...O interactions. The intramol. dihedral angles between the benzene rings are within the range 43.7(1)-46.4(1)° for the six mols. Crystallog. data are given.  
IT 4698-11-7P, 10-Methoxy-5H-dibenz[b,f]azepine  
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L22 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:289573 CAPLUS

DOCUMENT NUMBER: 143:9480

TITLE: A New Industrial Process for Oxcarbazepine

AUTHOR(S): Fuenfschilling, Peter C.; Zaugg, Werner; Beutler, Ulrich; Kaufmann, Daniel; Lohse, Olivier; Mutz, Jean-Paul; Onken, Ulrich; Reber, Jean-Louis; Shenton, David

CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Organic Process Research &amp; Development (2005), 9(3), 272-277

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:9480

AB A novel industrial process for the antiepileptic drug oxcarbazepine 1 has been developed. Unlike the old process, the new process is free from halogenated solvents and can be performed in standard production equipment. It starts from com. available 1,3-dihydro-1-phenyl-2H-indol-2-one 10. In the key step, an electrophilic ring closure reaction of 2-[(methoxycarbonyl)phenylamino]benzeneacetic acid 5 to 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxylic acid Me ester 6 in polyphosphoric acid was applied. For the manufacture of 5, a highly efficient process using a dianion strategy was developed.

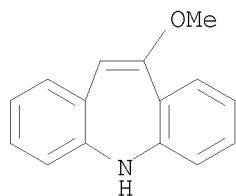
IT 4698-11-7P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; new industrial process for preparation of antiepileptic drug oxcarbazepine)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



IT 28721-07-5P, Oxcarbazepine

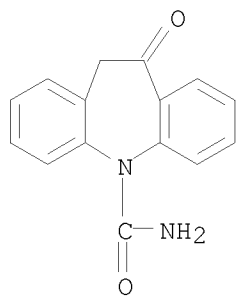
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(new industrial process for preparation of antiepileptic drug oxcarbazepine)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

10/598,623



OS.CITING REF COUNT:	7	THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT:	9	THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2004:706975 CAPLUS

DOCUMENT NUMBER: 142:280039

TITLE: A new synthesis of oxcarbazepine using a Friedel-Crafts cyclization strategy. [Erratum to document cited in CA141:157022]

AUTHOR(S): Kaufmann, Daniel; Fuenfschilling, Peter C.; Beutler, Ulrich; Hoehn, Pascale; Lohse, Olivier; Zaugg, Werner

CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Tetrahedron Letters (2004), 45(38), 7171

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The corrected version of Section 4 "Completion of the synthesis of 1" is given.

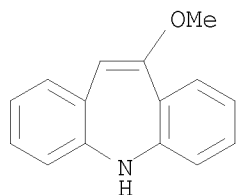
IT 4698-11-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxcarbazepine via enolization of N-(oxycarbonyl)dibenzazepinones followed by hydrolysis, acylation, and hydrolysis (Erratum))

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



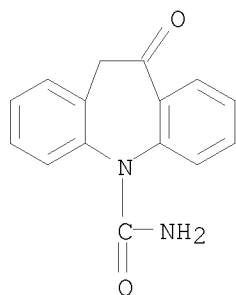
IT 28721-07-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

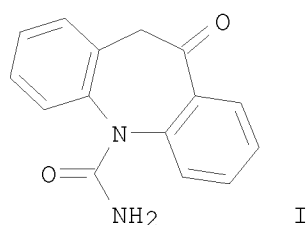
(preparation of oxcarbazepine via hydrolysis of N-(oxycarbonyl)dibenzazepinones followed by acylation (Erratum))

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



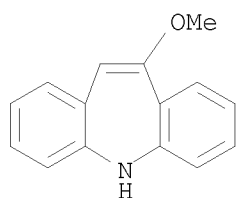
L22 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2004:479517 CAPLUS  
 DOCUMENT NUMBER: 141:157022  
 TITLE: A new synthesis of oxcarbazepine using a  
 Friedel-Crafts cyclization strategy  
 AUTHOR(S): Kaufmann, Daniel; Fuenfschilling, Peter C.; Beutler,  
 Ulrich; Hoehn, Pascale; Lohse, Olivier; Zaugg, Werner  
 CORPORATE SOURCE: Chemical and Analytical Development, Novartis Pharma  
 AG, Basel, CH-4002, Switz.  
 SOURCE: Tetrahedron Letters (2004), 45(27), 5275-5278  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 141:157022  
 GI



AB A simple and straightforward process for the large-scale synthesis of oxcarbazepine (I), the active ingredient of Trileptal, a medicine for the treatment of epilepsy, has been developed. Starting from readily available 1,3-dihydro-1-phenyl-2H-indol-2-one, a Friedel-Crafts cyclization strategy provides a direct route to the tricyclic framework of the target mol. Crucial to the success of the strategy was the choice of the proper nitrogen-protecting group.

IT 4698-11-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of oxcarbazepine via enolization of  
 N-(oxycarbonyl)dibenzazepinones followed by hydrolysis, acylation, and  
 hydrolysis)

RN 4698-11-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



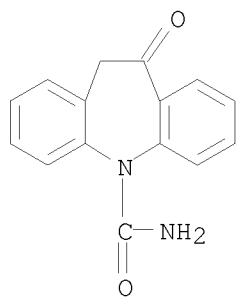
IT 28721-07-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

10/598,623

(preparation of oxcarbazepine via hydrolysis of  
N-(oxycarbonyl)dibenzazepinones followed by acylation)

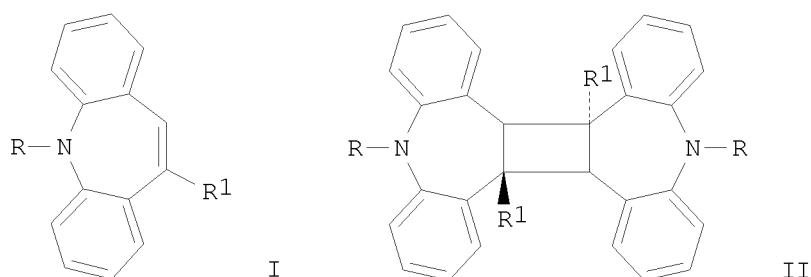
RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX  
NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)  
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2004:58537 CAPLUS  
 DOCUMENT NUMBER: 140:253549  
 TITLE: Substituent-dependent reactivity in the  
 photodimerization of N-substituted dibenz[b,f]azepines  
 AUTHOR(S): Querner, Jens; Wolff, Thomas; Goerner, Helmut  
 CORPORATE SOURCE: Institut fuer Physikalische Chemie und Elektrochemie  
 der Technischen Universitaet Dresden, Dresden, 01062,  
 Germany  
 SOURCE: Chemistry--A European Journal (2004), 10(1), 283-293  
 CODEN: CEUJED; ISSN: 0947-6539  
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:253549  
 GI



AB The photoprocesses of a series of N-substituted dibenz[b,f]azepines (iminostilbenes) (I; R = H, Me, ET, CN, CH<sub>2</sub>Ph, acetyl, naphthalenyl, CONH<sub>2</sub>, COCl, etc.; R<sub>1</sub> = H, OMe, Br, CN, 1-piperidinyl), were studied by absorption and emission spectroscopy, by laser flash photolysis, and by preparative irradiation with NMR anal. In solns., 2 $\pi$ +2 $\pi$  photodimers of N-cyano and N-acyl dibenzazepines II (R = CN, CHO, acetyl, 1-oxopropyl, PhCO, naphthalenylcarbonyl, trifluoroacetyl, COCl, CONH<sub>2</sub>, 4-benzoylphenyl; R<sub>1</sub> = H) are formed via the triplet state upon acetone- or benzophenone-sensitized energy transfer. Triplet-triplet absorption spectra were measured and absorption coeffs. were determined The triplet energy transfer is equally efficient for N-alkyl dibenzazepines, which do not dimerize. Excited states of n $\pi$ \* character in the latter cases are discussed to rationalize the different reactivities. In spite of negligible intersystem crossing of 21 dibenzazepine derivs., photodimers of N-acyl and N-cyano dibenzazepines are formed upon direct excitation in concentrated solns. (0.01-0.1 mol dm<sup>-3</sup>) as well as in the solid state. A selective anti-configuration of the photodimers was found throughout.

IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine

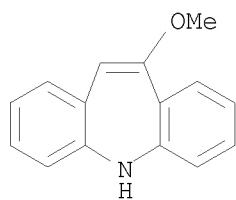
RL: PRP (Properties)

(study of triplet energy transfer, intersystem crossing and effect of substituent-dependent reactivity of dibenz[b,f]azepine derivs.)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

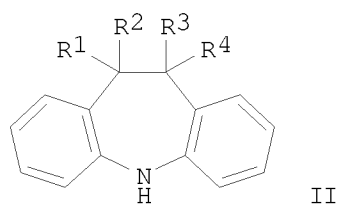
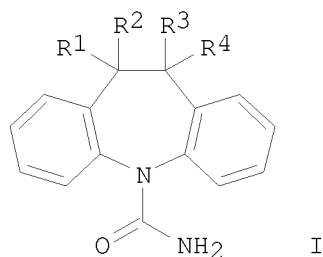
10/598,623



OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	34	THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

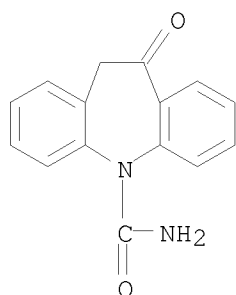
L22 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2003:1006946 CAPLUS  
 DOCUMENT NUMBER: 140:42043  
 TITLE: Method of preparing a  
 5H-dibenz[b,f]azepine-5-carboxamide  
 INVENTOR(S): Gutman, Daniella; Baidossi, Wael  
 PATENT ASSIGNEE(S): Taro Pharmaceuticals U.S.A., Inc., USA  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106414	A2	20031224	WO 2003-US18823	20030613
WO 2003106414	A3	20040701		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2486560	A1	20031224	CA 2003-2486560	20030613
AU 2003240009	A1	20031231	AU 2003-240009	20030613
US 20040044200	A1	20040304	US 2003-460946	20030613
US 7091339	B2	20060815		
EP 1513816	A2	20050316	EP 2003-734588	20030613
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
IL 165694	A	20091224	IL 2003-165694	20030613
US 20060241292	A1	20061026	US 2006-427503	20060629
US 7723514	B2	20100525		
PRIORITY APPLN. INFO.:			US 2002-388811P	P 20020614
			US 2003-460946	A1 20030613
			WO 2003-US18823	W 20030613
OTHER SOURCE(S):	CASREACT 140:42043; MARPAT 140:42043			
GI				

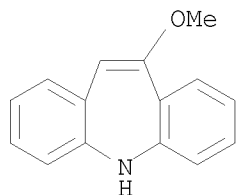




- AB The present invention provides a method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide I [R1-R4 = H, halo, NO<sub>2</sub>, CN, etc.; R2 and R3 can together form a bond] comprising reacting a 5H-dibenz[b,f]azepine II with a cyanate salt selected from the group consisting of alkali metal cyanate salts and alkaline-earth metal cyanate salts, and a salt of an amino compound having no N-H bonds, wherein the salt has a K<sub>a</sub> (25° C) of at least about 10<sup>-10</sup>-11. Thus, reacting 10-methoxy-5H-dibenz[b,f]azepine with NaOCN and pyridinium bromide in PhMe followed by hydrolysis of the resulting enol ether with 10% HCl afforded 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (oxcarbazepine) which is known to control some types of seizures in the treatment of epilepsy (no biol. data given). Preparation of carbamazepine is also described.
- IT 28721-07-5P, Oxcarbazepine  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide)
- RN 28721-07-5 CAPLUS
- CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



- IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (method of preparing a 5H-dibenz[b,f]azepine-5-carboxamide)
- RN 4698-11-7 CAPLUS
- CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2003:297637 CAPLUS

DOCUMENT NUMBER: 138:304176

TITLE: Process for preparation of 10-methoxycarbamazepine by reaction of 10-methoxyiminostilbene with cyanic acid in the presence of weak acid.

INVENTOR(S): Ansari, Shahid Akhtar; Bhat, Ravindra; Kulkarni, Ashok Krishna

PATENT ASSIGNEE(S): Max India Limited, India

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1302464	A1	20030416	EP 2002-257007	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2407173	A1	20030409	CA 2002-2407173	20021009
CA 2407173	C	20090929		
US 20030105076	A1	20030605	US 2002-269084	20021009
US 6670472	B2	20031230		

PRIORITY APPLN. INFO.: EP 2001-308631 A 20011009

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 138:304176

AB Title process is claimed. Also disclosed is an improved method for the hydrolysis of 10-methoxycarbamazepine to oxcarbazepine in a biphasic system chosen such that the oxcarbazepine is substantially insol. in both phases, whereas the byproducts or impurities are soluble in  $\geq 1$  of the phases. Thus, 10-methoxyiminostilbene,  $\text{PhCO}_2\text{H}$ , and  $\text{NaOCN}$  were refluxed together in PhMe for 12 h. The reaction mixture was filtered, washed with aqueous  $\text{Na}_2\text{CO}_3$ , and the PhMe layer was heated with 2N HCl at  $75-80^\circ$  for 2 h followed by cooling to give oxcarbazepine of 99.45% purity.

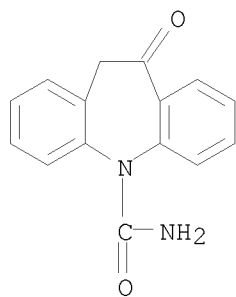
IT 28721-07-5P, Oxcarbazepine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 10-methoxycarbamazepine by reaction of 10-methoxyiminostilbene with cyanic acid in the presence of weak acid)

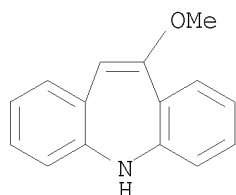
RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)



10/598,623

IT 4698-11-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 10-methoxycarbamazepine by reaction of  
10-methoxyiminostilbene with cyanic acid in the presence of weak acid)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:655370 CAPLUS

DOCUMENT NUMBER: 137:154864

TITLE: Process for the preparation of  
10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-  
carboxamide

INVENTOR(S): Ferrario, Gianluigi

PATENT ASSIGNEE(S): Inland International Limited, Virgin I. (Brit.)

SOURCE: Ital. Appl., 13 pp.

CODEN: ITXXCZ

DOCUMENT TYPE: Patent

LANGUAGE: Italian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 2000MI0311	A1	20010822	IT 2000-MI311	20000222
IT 1318371	B1	20030825		

PRIORITY APPLN. INFO.: IT 2000-MI311 20000222

OTHER SOURCE(S): CASREACT 137:154864

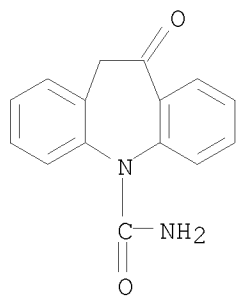
AB 10-Oxo-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide was prepared by treatment of 10-methoxy-5H-dibenz[b,f]azepine (I) with an alkali or alkaline-earth metal cyanate in the presence of acid, followed by hydrolysis using an organic acid. Thus, a toluene solution of 22.2 g I was treated with 8.92 g KNCO and 96% H<sub>2</sub>SO<sub>4</sub> and heated at 40-50°C for 24 h. The organic phase was treated with 50% aqueous AcOH at reflux for 8 h to afford 15.4 g the title compound

IT 28721-07-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)

(preparation of oxodihydrodibenz[b,f]azepinecarboxamide)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX  
NAME)

IT 4698-11-7

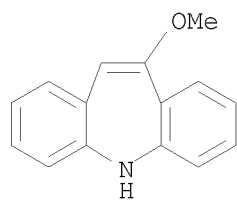
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of oxodihydrodibenz[b,f]azepinecarboxamide)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



L22 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2002:655362 CAPLUS  
 DOCUMENT NUMBER: 137:154863  
 TITLE: Process for the preparation of  
 5-methoxy-5H-dibenz[b,f]azepine  
 INVENTOR(S): Finotto, Martino  
 PATENT ASSIGNEE(S): Italy  
 SOURCE: Ital. Appl., 7 pp.  
 CODEN: ITXXCZ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Italian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 99MI2022	A1	20010329	IT 1999-MI2022	19990929
PRIORITY APPLN. INFO.:			IT 1999-MI2022	19990929

OTHER SOURCE(S): CASREACT 137:154863

AB 5-Methoxy-5H-dibenz[b,f]azepine was prepared by treatment of  
 5-(chlorocarbonyl)-5H-dibenz[b,f]azepine (I) with chlorine or bromine at  
 -30 to +10°C and then with NaOMe or NaOH at 70-100°C. Thus,  
 treatment of 0.1 mol I in CH<sub>2</sub>Cl<sub>2</sub> with 0.11 mol Cl<sub>2</sub> for 1 h at 0°C,  
 addition of NaOH/MeOH (2.02 mol in 250 mL), distilling, and heating at reflux

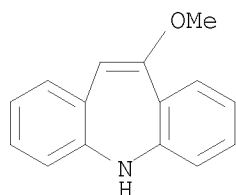
for 24 h afforded 92% the title compound

IT 4698-11-7P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
 (Preparation)  
 (preparation of methoxydibenz[b,f]azepine)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L22 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2001:581847 CAPLUS  
 DOCUMENT NUMBER: 135:166785  
 TITLE: Preparation of dibenzo[b,f]azepine derivatives  
 INVENTOR(S): Fuenfschilling, Peter; Kaufmann, Daniel; Lohse,  
 Olivier; Beutler, Ulrich; Zaugg, Werner  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen  
 Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056992	A2	20010809	WO 2001-EP1330	20010207
WO 2001056992	A3	20020124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2395601	A1	20010809	CA 2001-2395601	20010207
CA 2395601	C	20100105		
CA 2639210	A1	20010809	CA 2001-2639210	20010207
CA 2724295	A1	20010809	CA 2001-2724295	20010207
BR 2001007922	A	20021022	BR 2001-7922	20010207
TR 2002001655	T2	20021121	TR 2002-1655	20010207
EP 1265868	A2	20021218	EP 2001-915203	20010207
EP 1265868	B1	20090408		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2003000243	A2	20030628	HU 2003-243	20010207
HU 2003000243	A3	20050728		
JP 2003521536	T	20030715	JP 2001-556842	20010207
AU 767724	B2	20031120	AU 2001-42373	20010207
NZ 520329	A	20031128	NZ 2001-520329	20010207
CN 1721409	A	20060118	CN 2005-10085302	20010207
CN 100455572	C	20090128		
CN 1244563	C	20060308	CN 2001-803530	20010207
RU 2303591	C2	20070727	RU 2002-123334	20010207
AT 427938	T	20090415	AT 2001-915203	20010207
EP 2067772	A2	20090610	EP 2009-153375	20010207
EP 2067772	A3	20090819		
R:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, RO, SI			
PT 1265868	E	20090715	PT 2001-915203	20010207
ES 2324900	T3	20090819	ES 2001-915203	20010207
KR 2009102882	A	20090930	KR 2009-7019039	20010207
KR 966209	B1	20100625		
KR 2010018069	A	20100216	KR 2010-7000738	20010207

SK 287217	B6	20100308	SK 2002-1126	20010207
CZ 301572	B6	20100421	CZ 2002-2676	20010207
IL 150613	A	20100517	IL 2001-150613	20010207
TW 284638	B	20070801	TW 2001-102908	20010209
NO 2002003575	A	20020726	NO 2002-3575	20020726
NO 324949	B1	20080114		
US 20030032800	A1	20030213	US 2002-182980	20020802
US 7112673	B2	20060926		
ZA 2002006219	A	20030404	ZA 2002-6219	20020805
IN 224852	A1	20081205	IN 2002-CN1205	20020806
MX 2002007629	A	20021213	MX 2002-7629	20020807
HK 1052501	A1	20090814	HK 2003-103369	20030513
PH 1200700298	A	20090427	PH 2007-1200700298	20070731
IN 2007CN03402	A	20071116	IN 2007-CN3402	20070803
KR 2008003016	A	20080104	KR 2007-7029687	20071220
KR 931753	B1	20091214		
KR 2008103608	A	20081127	KR 2008-7027467	20081110

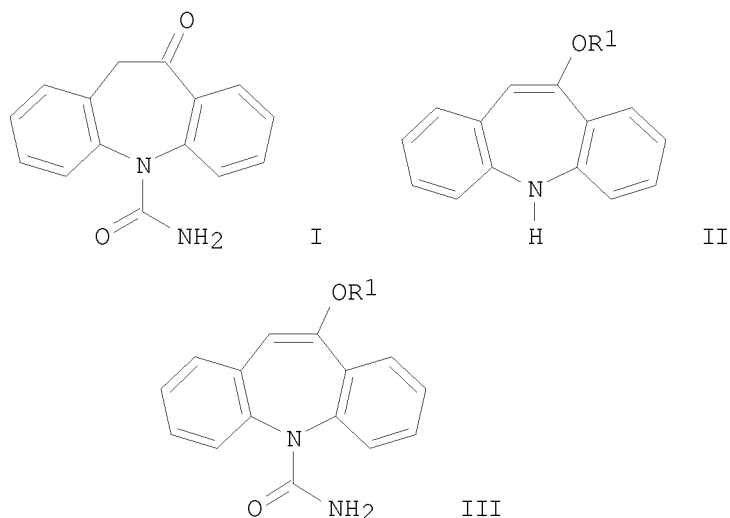
PRIORITY APPLN. INFO.:

GB 2000-2740	A	20000207
CA 2001-2395601	A3	20010207
CA 2001-2639210	A3	20010207
CN 2001-803530	A3	20010207
EP 2001-915203	A3	20010207
KR 2002-7010123	A3	20010207
WO 2001-EP1330	W	20010207
KR 2007-7029687	A3	20071220
KR 2008-7027467	A3	20081110

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 135:166785; MARPAT 135:166785

GI

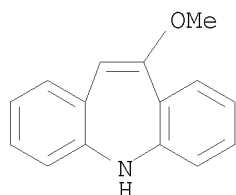


AB The invention relates to new processes for the preparation of the pharmaceutical oxcarbazepine I, as well as novel intermediates prepared by or used for said processes, and the preparation of said intermediates. Thus, carbamoylation of II [R<sup>1</sup> = alkyl] (preparation given for R<sup>1</sup> = Me) with a metal cyanate in AcOH followed by hydrolysis of III affords the

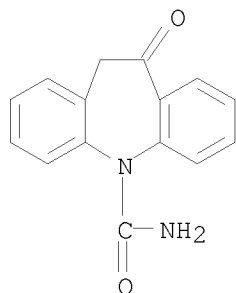


10/598,623

IT      dibenzo[b,f]azepine I.  
4698-11-7P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); RACT (Reactant or reagent)  
         (preparation of dibenzo[b,f]azepine derivs.)  
RN      4698-11-7    CAPLUS  
CN      5H-Dibenz[b,f]azepine, 10-methoxy-    (CA INDEX NAME)



IT      28721-07-5P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
         (preparation of dibenzo[b,f]azepine derivs.)  
RN      28721-07-5    CAPLUS  
CN      5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-    (CA INDEX  
NAME)



OS.CITING REF COUNT:	4	THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2001:47292 CAPLUS

DOCUMENT NUMBER: 134:266193

TITLE: New synthesis of oxcarbazepine via remote metalation of protected N-(ortho-tolyl)anthranilamide derivatives

AUTHOR(S): Lohse, O.; Beutler, U.; Funfschilling, P.; Furet, P.; France, J.; Kaufmann, D.; Penn, G.; Zaugg, W.

CORPORATE SOURCE: Novartis Pharma AG, Chemical and Analytical Development, Basel, CH-4002, Switz.

SOURCE: Tetrahedron Letters (2001), 42(3), 385-389  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:266193

AB Benzyl- and allyl-protected N-tol-2-ylanthranilamides were efficiently prepared by Buchwald-Hartwig C-N cross coupling reactions, followed by protection of the amino group. Under directed remote metalation conditions, protected dibenzazepinones were obtained in good yields. Deprotection of the amine and conversion to an urea furnished a new and efficient synthesis of the antiepileptic drug Trileptal.

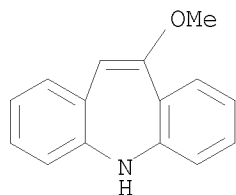
IT 4698-11-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxcarbazepine via remote metalation of protected N-tolylanthranilamides)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



IT 28721-07-5P, Oxcarbazepine

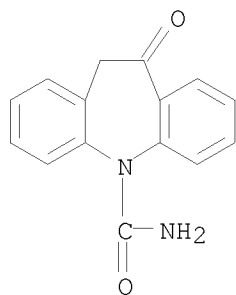
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of oxcarbazepine via remote metalation of protected N-tolylanthranilamides)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

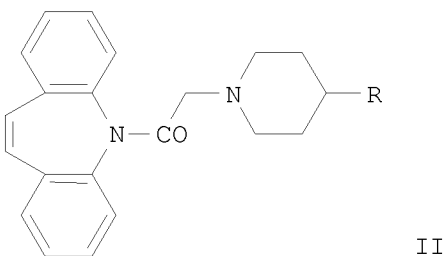
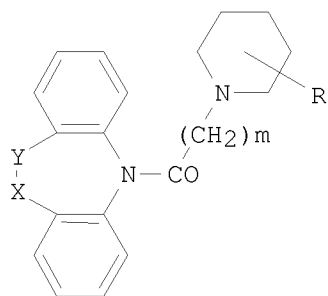
10/598,623



OS.CITING REF COUNT:	22	THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
REFERENCE COUNT:	21	THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2001:31490 CAPLUS  
 DOCUMENT NUMBER: 134:100776  
 TITLE: Preparation of 5H-dibenz[b,f]azepines for  
 pharmaceutical use as selective M2 muscarinic receptor  
 antagonists  
 INVENTOR(S): Terni, Patrizia Maria Luisa; Mandelli, Giacomina  
 Roberta; Maiorana, Stefano; Imbimbo, Bruno Pietro  
 PATENT ASSIGNEE(S): Mediolanum Farmaceutici S.p.A., Italy  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001002386	A1	20010111	WO 2000-EP6020	20000628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 99MI1452	A1	20010102	IT 1999-MI1452	19990701
PRIORITY APPLN. INFO.:			IT 1999-MI1452	A 19990701
OTHER SOURCE(S):	MARPAT 134:100776			
GI				



AB 5H-dibenzo[b,f]azepines, such as I [R = (CH<sub>2</sub>)<sub>n</sub>NR<sub>1</sub>R<sub>2</sub>; R<sub>1</sub> = H, Ph, benzyl, phenethyl, alkyl, etc.; R<sub>2</sub> = Ph, benzyl, phenethyl, alkyl, etc.; XY = CH<sub>2</sub>-CH<sub>2</sub>, CH=CH, CH=CR<sub>3</sub>; R<sub>3</sub> = OH, OPh, alkoxy; n, m = 1 - 10], were prepared for use as selective M2 muscarinic receptor antagonists and can be used in the treatment of cardiovascular disorders, particularly bradycardias and bradyarrhythmias and in the treatment of cognitive disorders such as Alzheimer's disease. Thus, 5H-dibenzo[b,f]azepine II [R = (CH<sub>2</sub>)<sub>4</sub>NEt<sub>2</sub>] was prepared via a multistep synthetic sequence starting from 1-benzyl-4-piperidone, tri-Et 4-phosphonocrotonate, and

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5-(chloroacetyl)-5H-dibenz[b,f]azepine. The prepared 5H-dibenzo[b,f]azepines were tested for muscarinic receptor binding affinity and were found to have selectivity for the M2 receptor.

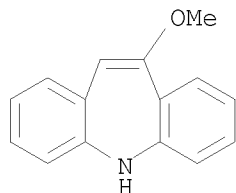
IT 4698-11-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 5H-dibenz[b,f]azepines for pharmaceutical use as selective M2 muscarinic receptor antagonists)

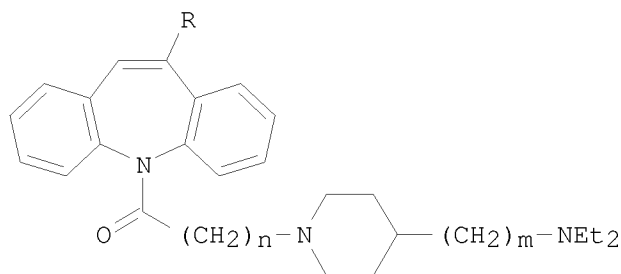
RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2000:788179 CAPLUS  
 DOCUMENT NUMBER: 134:86143  
 TITLE: Synthesis of new cardioselective M2 muscarinic  
 receptor antagonists  
 AUTHOR(S): Mandelli, Giacomina R.; Maiorana, Stefano; Terni,  
 Patrizia; Lamperti, Giuseppina; Colibretti, Maria  
 Luisa; Imbimbo, Bruno P.  
 CORPORATE SOURCE: Research and Development Department, Mediolanum  
 Farmaceutici, Milan, 20143, Italy  
 SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(11),  
 1611-1622  
 CODEN: CPBTAL; ISSN: 0009-2363  
 PUBLISHER: Pharmaceutical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 134:86143  
 GI



AB A series of 5H-dibenz[b,f]azepines, e.g. I (R = H, MeO, EtO, BuO, PhO; n = 1, 5, 9; m = 2, 4, 7), was prepared and evaluated for binding affinities to muscarinic receptors in vitro. Among them, compound I (R = H; n = 1; m = 4) (II) showed a high affinity for human recombinant M2 receptors ( $K_i=2.6$  nM), a low affinity for M4 receptors (39-fold less than for M2 receptors) and a very low affinity for M1 and M3 receptors (119- and 112-fold less than for M2 receptors, resp.). This high M2 selectivity may be attributed to the olefinic bond of the azepine ring. Functional expts. showed II to be a competitive antagonist with high affinity to the cardiac ( $pA_2=7.1$ ) and low affinity to the intestinal muscarinic receptors ( $IC_{50}=0.54$   $\mu$ M). In vivo expts. confirmed the in vitro M2 selectivity of II. Acetylcholine-induced bradycardia was dose-dependently antagonized in rats after both i.v. and intraduodenal administration of II. In rats, cholinergic functions mediated by M1 or M3 receptors (salivary secretion, pupil diameter, gastric emptying, intestinal transit time) were not affected by the oral administration of II even at doses as high as 30 times the antibradycardic ED. Furthermore, II had no analgesic activity in mice, indicating poor central nervous system penetration. In dogs, nocturnal bradycardia was dose-dependently inhibited by the oral route with a duration of action of about 24 h. Compound II appears to be a promising cardioselective antimuscarinic agent for the treatment of dysfunctions of the cardiac conduction system such as sinus or nodal bradycardia ("sick-sinus syndrome") and atrioventricular block.

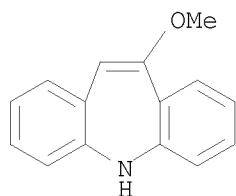
IT 4698-11-7

10/598,623

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation and biol. evaluation of N-substituted dibenzazepines as  
cardioselective M2 muscarinic receptor antagonists)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)  
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:728964 CAPLUS

DOCUMENT NUMBER: 126:7999

ORIGINAL REFERENCE NO.: 126:1779a,1782a

TITLE: Preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging

INVENTOR(S): Andersen, Henrik Sune; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joergensen, Tine Krogh; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

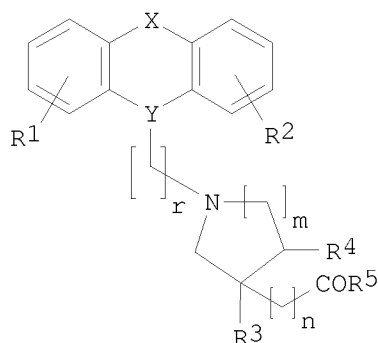
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631499	A1	19961010	WO 1996-DK140	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5716949	A	19980210	US 1996-625562	19960328
CA 2217130	A1	19961010	CA 1996-2217130	19960401
AU 9651004	A	19961023	AU 1996-51004	19960401
EP 869954	A1	19981014	EP 1996-907328	19960401
EP 869954	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503128	T	19990323	JP 1996-529869	19960401
AT 205843	T	20011015	AT 1996-907328	19960401
ZA 9602736	A	19961016	ZA 1996-2736	19960404
IN 1996MA00559	A	20050304	IN 1996-MA559	19960404
US 5753643	A	19980519	US 1997-862169	19970522
PRIORITY APPLN. INFO.:			DK 1995-406	A 19950407
			DK 1995-1003	A 19950911
			US 1996-625562	A3 19960328
			WO 1996-DK140	W 19960401

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

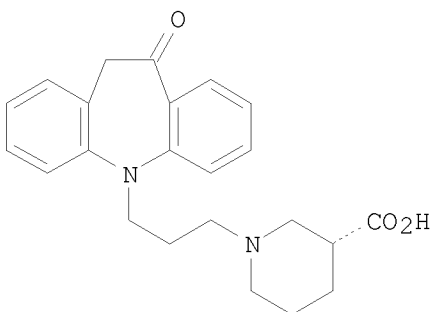
OTHER SOURCE(S): MARPAT 126:7999

GI





I



II

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = N(CH2), CH(CH2), C(:CH) (group in brackets does not participate in the ring system); X = CH2C(O), C(O)CH2, CH2S, etc.; r = 1-3; m = 1-2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, bond (when m = 2); R5 = OH, C1-6 alkoxy] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were prepared and formulated. Thus, treatment of 10-methoxy-5H-dibenz[b,f]azepine/THF with BuLi/hexanes followed by addition of Br(CH2)3Cl/THF, reaction of the resulting 1-chloro-3-(10-methoxy-10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)propane with Et (R)-3-piperidinecarboxylate tartrate in the presence of K2CO3, KI in MeC(O)Et and hydrolysis of the ester group afforded (R)-II.HCl which showed 21% inhibition of formalin induced pain response at 0.1 mg/kg.

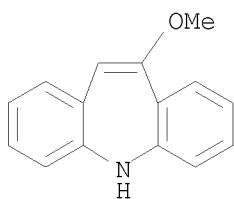
IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L22 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:713004 CAPLUS

DOCUMENT NUMBER: 126:8146

ORIGINAL REFERENCE NO.: 126:1815a,1818a

TITLE: Novel heterocyclic compounds for treatment of pain and/or inflammation

INVENTOR(S): Joergensen, Tine Krogh; Andersen, Knud Erik; Andersen, Henrik Sune; Hohlweg, Rolf; Madsen, Peter; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

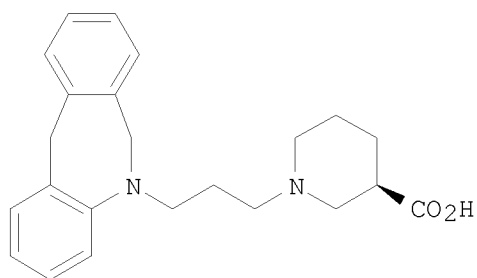
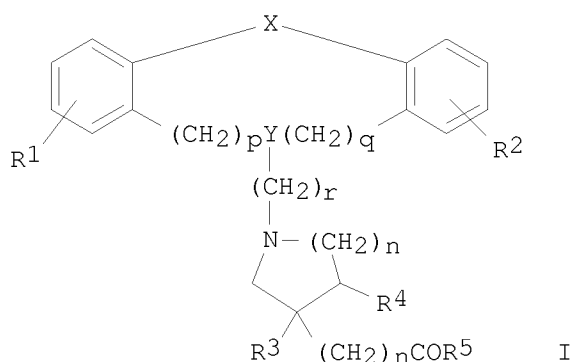
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631497	A1	19961010	WO 1996-DK138	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5698551	A	19971216	US 1996-623807	19960329
CA 2217206	A1	19961010	CA 1996-2217206	19960401
AU 9651002	A	19961023	AU 1996-51002	19960401
EP 820450	A1	19980128	EP 1996-907326	19960401
EP 820450	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503126	T	19990323	JP 1996-529867	19960401
AT 205489	T	20010915	AT 1996-907326	19960401
ZA 9602738	A	19961024	ZA 1996-2738	19960404
IN 1996MA00557	A	20050304	IN 1996-MA557	19960404
US 5747481	A	19980505	US 1997-863749	19970527
US 5750518	A	19980512	US 1997-863751	19970527
US 5780486	A	19980714	US 1997-863257	19970527
US 5846968	A	19981208	US 1997-863746	19970527
PRIORITY APPLN. INFO.:			DK 1995-403	A 19950407
			DK 1995-1006	A 19950911
			US 1996-623807	A3 19960329
			WO 1996-DK138	W 19960401

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

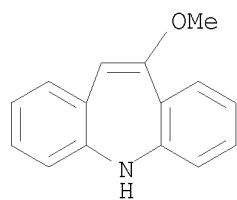
OTHER SOURCE(S): MARPAT 126:8146

GI



- AB Compds. I [R1, R2 = H, halo, CF3, OH, alkyl, alkoxy; Y = various trivalent branched radicals: CH2N(CH2), CON(CH2), (CH2)NCO, CH:C(CH2), OCH(CH2), (CH2)CHO, SCH(CH2), etc. (fragments in parentheses not in ring); X = O, S, CR6R7, CH2CH2, CH:CHCH2, COCH2, OCH2, CH2O, SCH2, NR8, NR9, etc.; q, p = 0, 1; r = 1-3; m = 1, 2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, or R3R4 = bond when m = 2; R5 = OH, alkoxy; R6-R9 = H, alkyl] and their pharmaceutically acceptable salts are disclosed. The invention also relates to esters of I, methods of preparation of I, compns. containing the compds., and their use for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 6,11-dihydro-5H-dibenz[b,e]azepine was subjected to a sequence of: N-acylation with ClCH2CH2COCl (100%), reduction of carbonyl with LiAlH4, amination of the chloride with (R)-3-piperidinecarboxylic acid Et ester tartrate (42%), and alkaline hydrolysis and acidification of the ester (74%), to give title compound II.HCl. At 0.1 mg/kg in mice, II.HCl gave 36% inhibition of formalin-induced paw pain response.
- IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; preparation of tricyclic azaheterocyclic carboxylic acids as analgesics and antiinflammatories)
- RN 4698-11-7 CAPLUS
- CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



OS.CITING REF COUNT:	7	THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:544073 CAPLUS

DOCUMENT NUMBER: 125:195448

ORIGINAL REFERENCE NO.: 125:36603a,36606a

TITLE: Preparation of  
10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-  
carboxamide

INVENTOR(S): Milanese, Alberto

PATENT ASSIGNEE(S): Trifarma, S.R.L., Italy

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

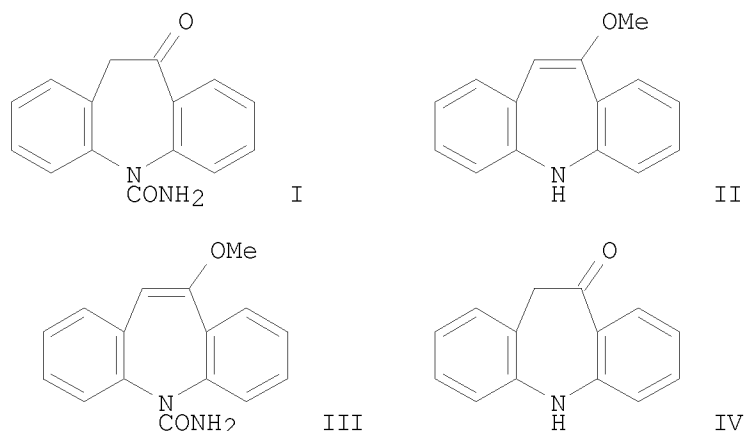
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621649	A1	19960718	WO 1996-EP4	19960103
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9643479	A	19960731	AU 1996-43479	19960103
EP 847390	A1	19980617	EP 1996-900104	19960103
EP 847390	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 195518	T	20000915	AT 1996-900104	19960103
ES 2150093	T3	20001116	ES 1996-900104	19960103
PT 847390	E	20001130	PT 1996-900104	19960103
US 5808058	A	19980915	US 1996-765481	19961224
GR 3034844	T3	20010228	GR 2000-402532	20001114
PRIORITY APPLN. INFO.:			IT 1995-MI56	A 19950113
			WO 1996-EP4	W 19960103

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 125:195448

GI

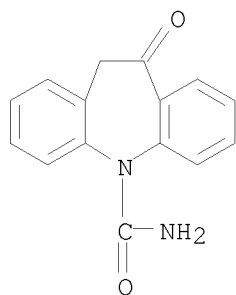


AB The title compound I was prepared by direct carbamoylation of 10-methoxy-5H-dibenz[b,f]azepine II with isocyanic acid generated in situ from cyanates and acids and subsequent acid hydrolysis of the enol ether III. Compound I was also prepared by acid hydrolysis of II followed by carbamoylation of the intermediate IV with ClSO<sub>2</sub>NCO.

IT 28721-07-5P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide)

RN 28721-07-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

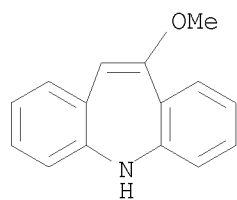


IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 10-oxo-10,11-dihydro-5H-dibenz[b,f]azepin-5-carboxamide)

RN 4698-11-7 CAPLUS

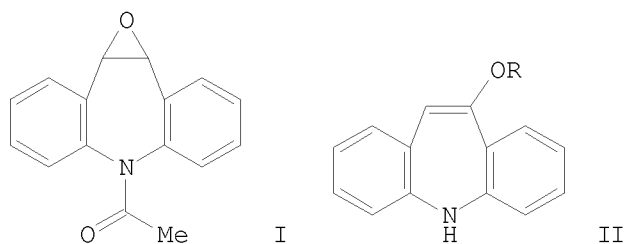
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



OS.CITING REF COUNT:	13	THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1994:244640 CAPLUS  
 DOCUMENT NUMBER: 120:244640  
 ORIGINAL REFERENCE NO.: 120:43357a, 43360a  
 TITLE: New synthesis of 10-alkoxy-5H-dibenz[b,f]azepines  
 AUTHOR(S): Haasz, Ferenc; Galamb, Vilmos  
 CORPORATE SOURCE: Alkaloida Chem. Co. Ltd., Tiszavasvari, H4440, Hung.  
 SOURCE: Synthetic Communications (1994), 24(5), 683-7  
 CODEN: SYNCAV; ISSN: 0039-7911  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 120:244640  
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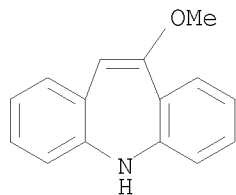


AB The reaction of 5-acetyl-5H-dibenz[b,f]azepine with sodium-hypochlorite led to the 5-acetyl-10,11-epoxy-10,11-dihydro-5H-dibenz[b,f]azepine I. The lithium iodide induced rearrangement of I gave the ketone which reacted with trialky-orthoformates leading to the vinyl ethers II (R = Me, Et).

IT 4698-11-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



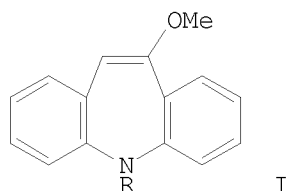
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (4 CITINGS)



L22 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:164010 CAPLUS  
 DOCUMENT NUMBER: 120:164010  
 ORIGINAL REFERENCE NO.: 120:28931a,28934a  
 TITLE: Improved process for producing  
 5-carbamoyl-10-oxo-10,11-dihydro-5H-dibenz[b,f]azepine  
 INVENTOR(S): Haasz, Ferenc; Galamb, Vilmos; Szabo, Jozsef, Mrs.;  
 Garadnay, Sandor  
 PATENT ASSIGNEE(S): Alkaloida Vegyeszeti Gyar, Hung.  
 SOURCE: Hung. Teljes, 8 pp.  
 CODEN: HUXXB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Hungarian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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HU 63389	A2	19930830	HU 1991-4116	19911227
PRIORITY APPLN. INFO.:			HU 1991-4116	19911227
OTHER SOURCE(S):	CASREACT	120:164010		
GI				

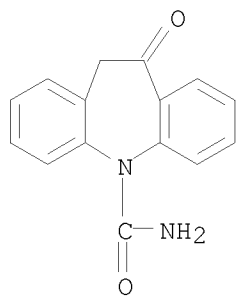


AB A procedure for preparation of the title compound (oxcarbazepine) from 10-methoxy-5H-dibenz[b,f]azepine (I; R = H) entailing consecutive chlorocarbonylation, ammonolysis, and hydrolysis is thus characterized: (1) chlorocarbonylation of I (R = H) with 30-70% molar excess diphosgene is carried out in aromatic hydrocarbon, halogenated or alkylated aromatic hydrocarbon solvent at 70-140°; (2) ammonolysis of the resultant I (R = COCl) is carried out without its isolation or purification, and without disruption of the reaction system, with NH<sub>3</sub>(g) at 60-90°; (3) the resultant carbamoyl derivative I (R = CONH<sub>2</sub>) is converted by known methods to oxcarbazepine. Thus, when step (1) is carried out in boiling PhMe, step (2) at 70° with NH<sub>3</sub> bubbling, I (R = CONH<sub>2</sub>) is obtained in 58.9% yield. Hydrolysis of I (R = CONH<sub>2</sub>) in 2 M HCl afforded 73.5% oxcarbazepine.

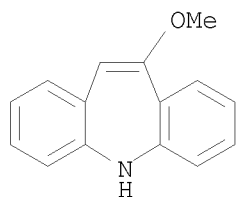
IT 28721-07-5P, Oxcarbazepine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of oxcarbazepine using diphosgene as chlorocarbonylation agent)

RN 28721-07-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo- (CA INDEX NAME)

10/598,623



IT 4698-11-7, 10-Methoxy-5H-dibenz[b,f]azepine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with diphosgene, followed by in situ ammonolysis)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



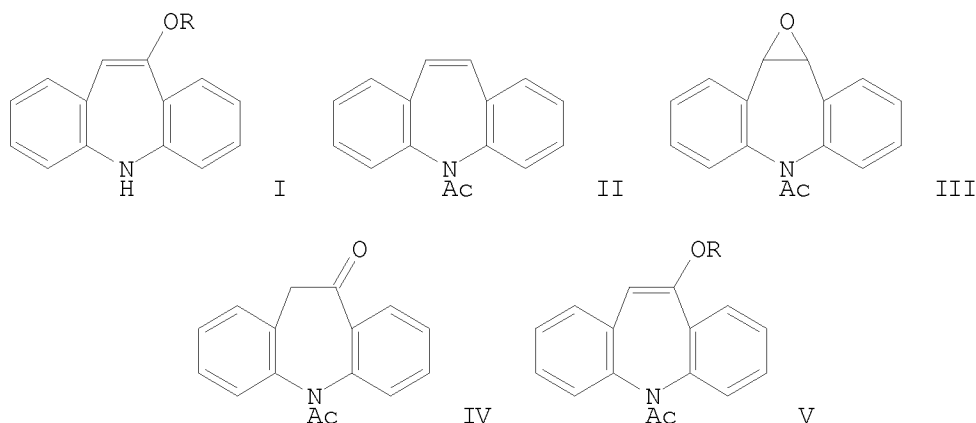
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L22 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1994:164008 CAPLUS  
 DOCUMENT NUMBER: 120:164008  
 ORIGINAL REFERENCE NO.: 120:28931a,28934a  
 TITLE: New process for producing  
 10-alkoxy-5H-dibenz[b,f]azepines starting from  
 5-acetyl-5H-dibenzazepine  
 INVENTOR(S): Haasz, Ferenc; Galamb, Vilmos; Hosztafi, Sandor;  
 Szabo, Jozsef, Mrs.; Garadnay, Sandor  
 PATENT ASSIGNEE(S): Alkaloida Vegyeszeti Gyar, Hung.  
 SOURCE: Hung. Teljes, 11 pp.  
 CODEN: HUXXB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Hungarian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 63391	A2	19930830	HU 1991-4118	19911227
PRIORITY APPLN. INFO.:			HU 1991-4118	19911227
OTHER SOURCE(S):	CASREACT	120:164008		

GI

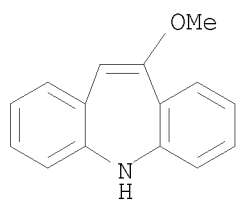


AB A process for preparation of title compds. I (R = Me, Et) entails (1) epoxidn. of 5-acetyl-5H-dibenzazepine II with NaOCl in presence of silica gel, (2) rearrangement of the resulting epoxide III to ketone IV, (3) enolization of IV with orthoformate ester in presence of acid to acetylalkoxydibenzazepine V, and (4) alkaline hydrolysis of V to I. Thus, epoxide III was prepared in 90.1% yield in step (1), and could be submitted to step (2) without further purification. Rearrangement of III was accomplished in presence of MgI<sub>2</sub>.OEt<sub>2</sub> in CHCl<sub>3</sub>, affording 75.1% ketone IV. Enolization of IV in MeOH with (EtO)<sub>3</sub>CH in presence of HCl/2-propanol afforded 94.4% acetylmethoxydibenzazepine V (R = Me). Alkaline hydrolysis of V (R = Me) with KOH/ethylene glycol afforded 80.3% I (R = Me).  
 IT 4698-11-7P, 10-Methoxy-5H-dibenz[b,f]azepine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

10/598,623

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

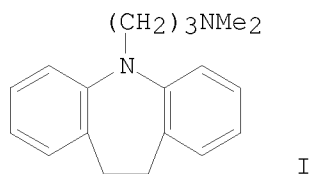


L22 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

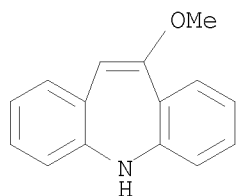
ACCESSION NUMBER: 1981:526247 CAPLUS  
 DOCUMENT NUMBER: 95:126247  
 ORIGINAL REFERENCE NO.: 95:21035a,21038a  
 TITLE: Imipramine derivatives and poly(amino acid) conjugates  
 INVENTOR(S): Singh, Prithipal; Pirio, Marcel R.  
 PATENT ASSIGNEE(S): Syva Co., USA  
 SOURCE: U.S., 7 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4275160	A	19810623	US 1979-55419	19790706
JP 57035522	A	19820226	JP 1980-109083	19800808
JP 02037543	B	19900824		

PRIORITY APPLN. INFO.: US 1979-55419 A 19790706  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 95:126247  
 GI



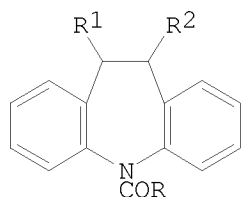
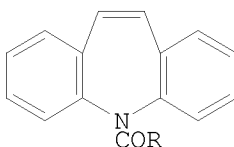
AB The preparation of imipramine derivs. and their conjugation to proteins is disclosed. Thus the process provides for reagents which can be used in sensitive immunoassays or enzyme immunoassays for imipramine and its derivs.  
 IT 4698-11-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction with (dimethylamino)propyl chloride)  
 RN 4698-11-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
 (7 CITINGS)

L22 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 1976:421151 CAPLUS  
 DOCUMENT NUMBER: 85:21151  
 ORIGINAL REFERENCE NO.: 85:3449a,3452a  
 TITLE: 5-Acyldibenzazepine derivatives  
 PATENT ASSIGNEE(S): VEB Arzneimittelwerk, Ger. Dem. Rep.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49056990	A	19740603	JP 1972-93293	19720919
PRIORITY APPLN. INFO.: GI			JP 1972-93293	A 19720919

I, R<sup>1</sup>=R<sup>2</sup>=HII, R<sup>1</sup>=Br, R<sup>2</sup>=R<sup>3</sup>

III

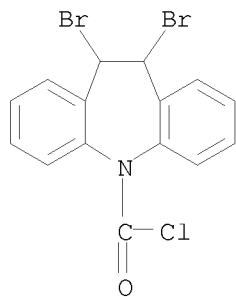
AB The 5-acyldibenzazepines I (R = Cl, Br) were heated up to 100° with Br at 1:1 to 1:2.3 molar ratios in an organic solvent in the presence of an initiator, e.g., light, (BzO)<sub>2</sub>, to give II (R<sub>3</sub> = H, Br), dehydrobromination or debromination of which gave the acyliminostyrene III. III are psychotropics and anticonvulsants. Thus, 39 g I (R = Cl) was irradiated with 24 g Br in CCl<sub>4</sub> to give II (R<sub>3</sub> = H) (no yield given), which (336 g) was heated at 150° in Ac<sub>2</sub>O to give III (R = Cl).

IT 40421-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and debromination of)

RN 40421-03-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
 (CA INDEX NAME)



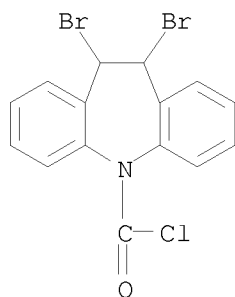
10/598,623

L22 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1975:497062 CAPLUS  
DOCUMENT NUMBER: 83:97062  
ORIGINAL REFERENCE NO.: 83:15237a,15240a  
TITLE: 5-Acyl iminostilbene derivatives  
INVENTOR(S): Findeisen, Christian; Doff-Sotta, Manfred; Conrad, Wolfgang; Graul, Karl H.; Koehler, Ilona  
PATENT ASSIGNEE(S): Ger. Dem. Rep.  
SOURCE: Ger. (East), 4 pp. Addn. to Ger. (East) 102,150 (See Ger. 2,238,904, CA 78;124469q).  
CODEN: GEXXA8  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DD 108535	A2	19740920	DD 1972-163744	19720616
DE 2238904	A1	19730215	DE 1972-2238904	19720807
AT 7206873	A	19750515	AT 1972-6873	19720809
AT 327910	B	19760225		
CH 588468	A5	19770615	CH 1972-11776	19720809
NL 7211002	A	19730215	NL 1972-11002	19720811
HU 166535	B	19750428	HU 1972-AE364	19720811
PL 82046	B1	19751031	PL 1972-157235	19720811
SE 393611	B	19770516	SE 1972-10491	19720811
RO 66049	A1	19810530	RO 1973-73959	19730223
PRIORITY APPLN. INFO.:			DD 1971-157188	A2 19710813
			DD 1972-161111	A2 19720225
			DD 1972-161112	A2 19720225
			DD 1972-163743	A2 19720616
			DD 1972-163744	A2 19720616

GI For diagram(s), see printed CA Issue.  
AB The debromination of 10,11-dibromo-5-(chlorocarbonyl)dibenzazepine I (R = Br), prepared by bromination of I (R = H), gave II.  
IT 40421-03-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(debromination of)  
RN 40421-03-2 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
(CA INDEX NAME)



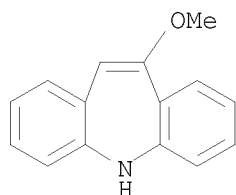
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

L22 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1973:431944 CAPLUS  
 DOCUMENT NUMBER: 79:31944  
 ORIGINAL REFERENCE NO.: 79:5181a,5184a  
 TITLE: 5H-Dibenz(b,f)azepine derivatives  
 INVENTOR(S): Schindler, Walter; Blattner, Hans  
 PATENT ASSIGNEE(S): Ciba-Geigy Corp.  
 SOURCE: U. S. Reissue, 14 pp. Reissue of U.S. 3,501,459 (CA 73;35241p).  
 CODEN: UUXXA2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 27622		19730417		19710923
PRIORITY APPLN. INFO.:			CH 1962-4683	19620417

GI For diagram(s), see printed CA Issue.  
 AB The dihydrodibenzazepines I [R = Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub> MeO; R<sub>1</sub> = H, Ac, Me] and the dibenzazepines II (R = Me, MeO, H, Me<sub>2</sub>NCH<sub>2</sub>, Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, Me<sub>2</sub>NOCCH<sub>2</sub>CH<sub>2</sub>, 1-pyrrolidinylmethyl, etc.; R<sub>1</sub> = H, Ac) were prepared Thus, 5-methyl-5H-dibenz[b,f]azepin-10(11H)-one was treated with NaH and Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>Cl to give 5-methyl-11-[3-(dimethylaminopropyl)-5H-dibenz[b,f]azepin-10(11H)-one which was hydrogenated in presence of copper chromite/barium carbonate to give I[R = Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>, R<sub>1</sub> = Me].  
 IT 4698-11-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 4698-11-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)





L22 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1973:124469 CAPLUS  
 DOCUMENT NUMBER: 78:124469  
 ORIGINAL REFERENCE NO.: 78:19995a,19998a  
 TITLE: 5-Carbamoyl- and  
 5-(halocarbonyl)-5H-dibenz[b,f]azepines  
 INVENTOR(S): Wunderlich, Helmut; Stark, Andreas; Carstens, Ernst;  
 Roehnert, Helmut; Trampau, Lothar; Freude, Hans  
 Jochen; Conrad, Wolfgang; Findeisen, Christian;  
 Berger, Georg; et al.  
 PATENT ASSIGNEE(S): VEB Arzneimittelwerk  
 SOURCE: Ger. Offen., 30 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2238904	A1	19730215	DE 1972-2238904	19720807
DD 100948	A1	19731012	DD 1971-157188	19710813
DD 101671	A1	19731112	DD 1972-161111	19720225
DD 102150	A1	19731212	DD 1972-161112	19720225
DD 102151	A1	19731212	DD 1972-163743	19720616
DD 108535	A2	19740920	DD 1972-163744	19720616
FR 2149491	A1	19730330	FR 1972-29338	19720816
FR 2149491	B1	19771223		

PRIORITY APPLN. INFO.:  
 DD 1971-157188 A2 19710813  
 DD 1972-161111 A2 19720225  
 DD 1972-161112 A2 19720225  
 DD 1972-163743 A2 19720616  
 DD 1972-163744 A2 19720616

GI For diagram(s), see printed CA Issue.

AB Two title compds. [I, R = Cl (II) or NH<sub>2</sub>] and mixts. of II and I (R = Br),  
 useful as intermediates for the preparation of pharmaceuticals, especially  
 psychopharmaceuticals and anticonvulsants, were prepared from the  
 iminodibenzyl III (R<sub>1</sub> = R<sub>2</sub> = H) (IV) by bromination to give III (R<sub>1</sub> = Br,  
 R<sub>2</sub> = H or Br), dehydromination or debromination, resp., and optionally  
 treatment with NH<sub>3</sub>. Thus, IV in CCl<sub>4</sub> was refluxed with Br in the presence  
 of Bz<sub>2</sub>O<sub>2</sub> for .apprx.30 min to give III (R<sub>1</sub> = Br, R<sub>2</sub> = H). This was heated  
 for 2-3 hr at 140-50° with HBr evolution to give 80° II.

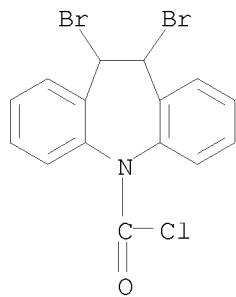
IT 40421-03-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 40421-03-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carbonyl chloride, 10,11-dibromo-10,11-dihydro-  
 (CA INDEX NAME)

10/598,623



L22 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1971:76346 CAPLUS  
 DOCUMENT NUMBER: 74:76346  
 ORIGINAL REFERENCE NO.: 74:12387a,12390a  
 TITLE: Fungicidal 10-acylamino-10,11-dihydrodibenz[b,f]azepines  
 INVENTOR(S): Fouche, Jean; Leger, Andre  
 PATENT ASSIGNEE(S): Rhone-Poulenc S. A.  
 SOURCE: Ger. Offen., 19 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2031236	A	19710107	DE 1970-2031236	19700624
DE 2031236	B2	19750925		
DE 2031236	C3	19760429		
FR 2045705	A5	19710305	FR 1969-21176	19690624
FR 2086796	A6	19711231	FR 1970-12838	19700409
NL 7008793	A	19701229	NL 1970-8793	19700616
ZA 7004238	A	19710224	ZA 1970-4238	19700622
GB 1253486	A	19711117	GB 1970-1253486	19700622
US 3792042	A	19740212	US 1970-48450	19700622
BE 752411	A	19701223	BE 1970-752411	19700623
CH 509758	A	19710715	CH 1970-509758	19700623
IL 34788	A	19730430	IL 1970-34788	19700623
SE 370237	B	19741007	SE 1970-8694	19700623
SE 381162	B	19751201	SE 1973-1346	19700623
DK 133466	B	19760524	DK 1970-3248	19700623
AT 300464	B	19720725	AT 1970-5687	19700624
JP 48037036	B	19731108	JP 1971-11358	19710305
US 3882235	A	19750506	US 1973-384419	19730801
DK 7405171	A	19750526	DK 1974-5171	19741001
DK 133453	B	19760524		
PRIORITY APPLN. INFO.:			FR 1969-21176	A 19690624
			FR 1970-12838	A 19700409
			US 1970-48450	A3 19700622
			DK 1970-3248	A 19700623

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), active especially against Erysiphe polyphaga, E. lini, Podosphaera leucotricha, Sphaerotheca pannosa, or Microsphaera berberidis, were prepared by acylation with ClCOR1 of the 10-amino derivs., prepared by known reduction of the oxime, in the presence of a base, e.g. pyridine. Among 17 compds. prepared were I (R and R1 given): Me, Et; H, Et; Me, Pr; Et, Et; H, hexyl; Pr, Et.

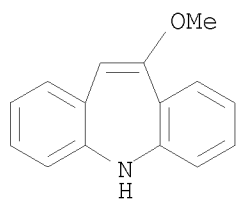
IT 4698-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

10/598,623



OS.CITING REF COUNT:

9

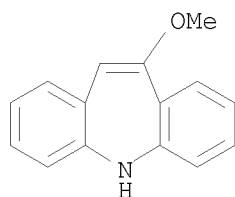
THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
(9 CITINGS)

L22 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1970:455985 CAPLUS  
 DOCUMENT NUMBER: 73:55985  
 ORIGINAL REFERENCE NO.: 73:9197a,9200a  
 TITLE: Antidepressant 5H-dibenz[b,f]azepine derivatives  
 INVENTOR(S): Schindler, Walter; Blattner, Hans  
 PATENT ASSIGNEE(S): Geigy Chemical Corp.  
 SOURCE: U.S., 14 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3501459		19700317	US	19640825

GI For diagram(s), see printed CA Issue.  
 AB Title compds. (I) and the corresponding 10,11-dihydro compds., where R = H or lower alkyl, Z = straight or branched chain C1-6 alkylene radical and R1 is dialkylamino where the alkyl groups have 1-4 C atoms, which may be bound together directly or through O or a lower alkylimino group, were prepared Thus, 22.3 g 5-methyl-5H-dibenz[b,f]-azepin-10-(11H)-one, 250 ml C6H6, and a suspension of 4 g NaNH2 in PhMe is refluxed 3 hr under N, cooled to 50°, 13.5 g Me2-NCH2CH2CH2Cl added, and the whole refluxed 20 hr to yield 5-methyl-11-(3-dimethylaminopropyl)-5H-dibenz[b,f]azepin-10-(11H)-one-HCl, m. 236-8°. This (free base) is hydrogenated over Cu chromite/BaCO3 to yield 5-methyl-10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine (II), b0.008 172-6°. II was converted with refluxing HBr into 10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine, b0.004 160°; fumarate m. 276-8°. Many other compds. were cited, some with phys. consts.  
 IT 4698-11-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 4698-11-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L22 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1970:435241 CAPLUS  
 DOCUMENT NUMBER: 73:35241  
 ORIGINAL REFERENCE NO.: 73:5841a,5844a  
 TITLE: Antidepressant 5H-dibenz[b,f]azepine derivatives  
 INVENTOR(S): Schindler, Walter; Blattner, Hans  
 PATENT ASSIGNEE(S): Geigy Chemical Corp.  
 SOURCE: U.S., 14 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3501459	A	19700317	US 1966-564004	19660711
FI 43988	B	19710430	FI 1970-3169	19701125
US 27622	E	19730417	US 1971-183235	19710923
PRIORITY APPLN. INFO.:			CH 1962-4683	A 19620417
			US 1962-242719	A 19621206
			US 1964-399120	A 19640825
			CH 1965-9799	A 19650713
			CH 1965-10446	A 19650726
			US 1966-564004	A 19660711

GI For diagram(s), see printed CA Issue.

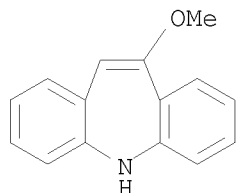
AB Title compds. (I) and their 10,11-dihydro derivs., where R = H or lower alkyl, Z = straight or branched chain alkylene radical of 1-6 C atoms and R1 is a secondary amino group, are prepared Thus, 22.3 g 5-methyl-5H-dibenz[b,f]azepin-10(11H)-one is dissolved in 250 ml C6H6, a suspension of 4 g NaNH2 in PhMe added, the mixture refluxed 3 hr under N, cooled to 50°, 13.5 g Me2N(CH2)3Cl added, and the whole refluxed 20 hr to yield 5-methyl-11-(3-dimethylaminopropyl)-5H-dibenz[b,f]azepin-10(11H)-one-HCl, m. 236-8°. The free base is hydrogenated over Cu chromite/BaCO3 to yield 5-methyl-10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine (II), b0.008 172-6°. II was converted with refluxing HBr into 10-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibenz[b,f]azepine, b0.004 160°; fumarate m. 276-8°.

IT 4698-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L22 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1969:57689 CAPLUS  
 DOCUMENT NUMBER: 70:57689  
 ORIGINAL REFERENCE NO.: 70:10829a  
 TITLE: 10-Amino-10,11-dihydrodibenzo[b,f]azepines  
 INVENTOR(S): Fouche, Jean C. L.; Gueremy, Claude G. A.  
 PATENT ASSIGNEE(S): Rhone-Poulenc S. A.  
 SOURCE: S. African, 37 pp.  
 CODEN: SFXXAB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6800345		19680619	ZA	
DE 1695666			DE	
FR 1532301			FR	
FR 94320			FR	
GB 1180164			GB	
GB 1180165			GB	
US 3622565		19711123	US	19680117
PRIORITY APPLN. INFO.:			FR	19670118
			FR	19671109

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) (R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> = H, Me, or Et) were prepared either from the oximes IIa of II or from I with other R<sub>2</sub> and R<sub>3</sub>. Thus, 13 g. Na was added in 90 min. to 19 g. IIa (R = Me) in 200 ml. BuOH at 100°, the mixture refluxed 20 min. and worked up to give, after extraction with 2N MeSO<sub>3</sub>H, 11.5 g. I (R<sub>1</sub> = Me, R<sub>2</sub> = R<sub>3</sub> = H) (III), m. 96° (MeCN). The following I (R<sub>2</sub> = R<sub>3</sub> = H) were similarly prepared (R<sub>1</sub>, m.p., and (or) m.p. of salts given): H, 123° (hexane-C<sub>6</sub>H<sub>6</sub> 7:3), oxalate 194° (Me<sub>2</sub>CO); Et, 90° (heptane), fumarate 200° (EtOH); and Ph-CH<sub>2</sub>, methanesulfonate 205° (EtOH). IIa (R = Me), m. 196°, IIa (R = PhCH<sub>2</sub>), m. 202°, IIa (R = H), m. 168°, and IIa (R = Et), m. 207°, were prepared from the corresponding II, m. 104°, 147° (Ger. 1,142,870), 141°, and 120°, resp. II (R = H) was prepared from 10-methoxydibenz[b,f]azepine (IV), m. 125° (Swiss 375,721), and II (R = Et) from 5-ethyl-10-methoxydibenz-[b,f]azepine, m. 180°, prepared from IV. I (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = Me) (V), 6.3 g., m. 65-6° (aqueous EtOH), was prepared from 6.7 g. III in 360 ml. EtOH by reaction with 40 g. 30% aqueous CH<sub>2</sub>O and H in the presence of 18 g. Raney Ni. To prepare I (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = H) (VI), 2.25 g. III was heated 2 hrs. with 14.8 g. HCO<sub>2</sub>Et in an autoclave at 80° to give 2 g. I (R<sub>1</sub> = Me, R<sub>2</sub> = HCO, R<sub>3</sub> = H) (VII), m. 142°, which was added in portions to 1.1 g. LiAlH<sub>4</sub> in 180 ml. Et<sub>2</sub>O; the mixture was refluxed 5 hrs. and worked up to give 1.9 g. VI.HCl, m. 238-40°. The following I were similarly prepared: I (R<sub>1</sub> = Me, R<sub>2</sub> = Et, R<sub>3</sub> = H) (VIII), fumarate m. 135-8° (EtOH), methanesulfonate m. 196°, from I (R<sub>1</sub> = Me, R<sub>2</sub> = Ac, R<sub>3</sub> = H), m. 187°; I (R<sub>1</sub> = Me, R<sub>2</sub> = R<sub>3</sub> = Et), m. 70° (petr. ether), from oily I (R<sub>1</sub> = Me, R<sub>2</sub> = Et, R<sub>3</sub> = Ac), made from VIII; I (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = Et), maleate m. 135° (AcOEt), from oily I (R<sub>1</sub> = Me, R<sub>2</sub> = HCO, R<sub>3</sub> = Et), made from VIII. V was also prepared from VI with Me<sub>2</sub>SO<sub>4</sub>, and by LiAlH<sub>4</sub>-reduction of I (R<sub>1</sub>

= R<sub>2</sub> = Me, R<sub>3</sub> = EtO<sub>2</sub>C), b<sub>0.1</sub> 172-5°, made from VI. VI was also prepared with KOH from I (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = CN), m. 85-7°; with KOH from I (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = HCO), m. 95°, made from VII with Me<sub>2</sub>SO<sub>4</sub>; and with Na from I (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>), m. 182-3°, prepared from I (R<sub>1</sub> = Me, R<sub>2</sub> = H, R<sub>3</sub> = p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>), m. 158°, which was made from III. The title compds., especially VI, act on the central

nervous

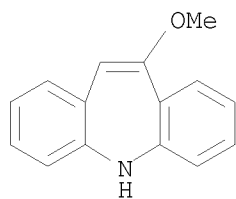
system as antidepressants, analgesics, anticonvulsants, and tranquilizers. They are given in doses of 5-50 mg./kg. animal weight, or 10-250 mg. daily to adult humans.

IT 4698-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)





L22 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1967:482124 CAPLUS  
 DOCUMENT NUMBER: 67:82124  
 ORIGINAL REFERENCE NO.: 67:15483a,15486a  
 TITLE: Azepine derivatives  
 INVENTOR(S): Geigy, J. R., A.-G.  
 SOURCE: Neth. Appl., 14 pp.  
 CODEN: NAXXAN  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Dutch  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6609781		19670116	NL 1966-9781	19660712
CH 454873			CH	
CH 457446			CH	
DE 1695082			DE	
FR 1489912			FR	
FR 6398			FR	
GB 1099749			GB	
PRIORITY APPLN. INFO.:			CH	19650713
			CH	19650726

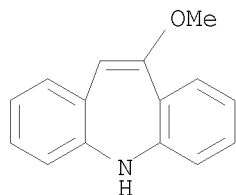
OTHER SOURCE(S): MARPAT 67:82124

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) are useful as antidepressants. Thus, a solution of 5,10-dimethyl-5H-dibenz[b,f]azepine in 375 cc. CCl<sub>4</sub> was mixed with 30.5 g. N-bromosuccinimide (NBS) and heated at 70° with 2 lamps (200 w.) 3-5 min. to give the 10-BrCH<sub>2</sub> derivative (II), m. 109-11°. II (15 g.) in 50 cc. dry C<sub>6</sub>H<sub>6</sub> at 0-5° was mixed with 10 g. Me<sub>2</sub>NH in 100 cc. C<sub>6</sub>H<sub>6</sub>, and then stirred 1 hr. at 40-50° to give I (R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = Me, R<sub>2</sub> = H) (III).HCl m. 225-8° (EtOH); III b0.01 140-4°. Similarly prepared were the following I (R<sub>1</sub> = Me, R<sub>2</sub> = H) (R<sub>3</sub>, R<sub>4</sub>, b.p./mm., and m.p. of the HCl salt given): Me, H, 147-9°/0.05, 175-7°; Et, Et, 147-50°/0.04, fumarate 148-9°; [(NR<sub>3</sub>R<sub>4</sub> =) 4-(2-hydroxyethyl)piperazin-1-yl, (IIa), -, 214-7°; [(NR<sub>3</sub>R<sub>4</sub> =) 4-methylpiperazino], -, 224-9°; [(NR<sub>3</sub>R<sub>4</sub> =) 1-(2-acetoxyethyl)piperazinyl], -, -. 10-Methoxy-5H-dibenz[b,f]azepine, m. 124°, gave via 5-Et derivative, m. 186-8°, 5-ethyl-5H-dibenz[b,f]azepin-10(11H)-one, m. 126-8°, and further the 5-ethyl-10-methyl-10,11-dihydro-5H-dibenz[b,f]azepine, which by treatment with NBS gave the 5-Et analog (IV) of II. From IV the following I (R<sub>1</sub> = Et, R<sub>2</sub> = H) were prepared: R<sub>3</sub> = R<sub>4</sub> = Me, b0.04 150-2° (HCl salt m. 247-9°); and (NR<sub>3</sub>R<sub>4</sub> =) pyrrolidino, m. 92° (HCl salt m. 170-2°). III was also prepared by treating 10-(dimethylaminomethyl)-5H-dibenz[b,f]azepine in PhMe with NaNH<sub>2</sub>, and then with MeI. Other I (R<sub>1</sub> = Me) prepared were (R<sub>2</sub>, NR<sub>3</sub>R<sub>4</sub>, b.p./mm., and HCl salt m.p. given): H, pyrrolidino, 160-4°/0.01, 130-2°; H, piperidino, 172-5°/0.01, 171-4°; H, Me<sub>2</sub>N, 145-9°/0.04, 156-60°; Me, pyrrolidino, 168-7°/0.03, 193-6°. The mixture of 17 g. Et piperazine-1-carboxylate in 100 cc. C<sub>6</sub>H<sub>6</sub> and 15 g. II in 75 cc. C<sub>6</sub>H<sub>6</sub> was refluxed 1 hr.; then the crude product was refluxed 8 hrs. with 18 g. KOH in 72 cc. EtOH to give I [R<sub>1</sub> = Me, R<sub>2</sub> = H, (NR<sub>3</sub>R<sub>4</sub> =) piperazino].2HCl (V.2HCl), m. 814-19°. V (15 g.) in 150 cc. PhMe and 70 g. K<sub>2</sub>CO<sub>3</sub> was boiled 4 hrs. with 12.5 g. HOCH<sub>2</sub>CH<sub>2</sub>Br, to give IIa. IIa may also be obtained from V and ethylene

10/598,623

oxide in EtOH.  
IT 4698-11-7P  
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)  
(Azepine derivatives)  
RN 4698-11-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)

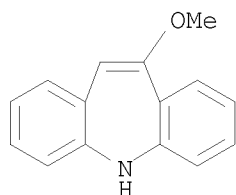


L22 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1966:490602 CAPLUS  
 DOCUMENT NUMBER: 65:90602  
 ORIGINAL REFERENCE NO.: 65:16952c-e  
 TITLE: New (10-substituted) azepine derivatives  
 INVENTOR(S): Schindler, Walter; Blattner, Hans  
 PATENT ASSIGNEE(S): J. R. Geigy A.-G  
 SOURCE: 4 pp.; Addn. to Swiss 375,721 (see Ger. 1,142,870, CA 59, 11454e)  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 405319		19660715	CH 1960-1575164	19600805

PRIORITY APPLN. INFO.: CH 19600805  
 AB Addition of Br 407 in C HCl<sub>3</sub> 250 to 5-acetyl-5H-dibenz[b,f]azepine 600 in CHCl<sub>3</sub> 1200 parts gave 5-acetyl-10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine (I), m. 136-8°. From I 125 and NaOMe 135 in MeOH 1000 parts refluxed 16 hrs. was obtained 10-methoxy-5H-dibenz[b,f]azepine (II), m. 124°. A mixture of II 268 and PhCH<sub>2</sub>Cl 192 in C<sub>6</sub>H<sub>6</sub> 1340 was stirred at 50-5° while adding NaNH<sub>2</sub> 62 parts in PhMe to give 5-benzyl-10-methoxydibenz[b,f]azepine (III), m. 121°. Refluxing III 318 in 2N HCl 1000 parts 1 hr. gave 5-benzyl-5H-dibenz[b,f]azepin-10 (11H)-one (IV), m. 152°. To the Grignard reagent from P (94.2) and Mg (14.7) in Et<sub>2</sub>O 180 at 0° was added IV 90 in C<sub>6</sub>H<sub>6</sub> 160 parts. After 36 hrs. at room temperature there was obtained an oily hydroxy compound that was refluxed 30 min. with 2N HCl 245 parts to obtain 5-benzyl-10-phenyl-5H-dibenz[b,f]azepine (V). Hydrogenation of V 51 in EtOH with Na 135 gave 5-benzyl-10-phenyl-10,11-dihydro 5H-dibenz[b,f]azepine as an oil that was refluxed with 45% HBr 190 parts 2 hrs. to obtain 10-phenyl-10,11-dihydro-5H-dibenz[b,f]azepine (VI), m. 154° (EtOH). VI is useful as an antiallergenic and psychotherapeutic agent  
 IT 4698-11-7P, 5H-Dibenz[b,f]azepine, 10-methoxy-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 4698-11-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

L22 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1966:19237 CAPLUS  
 DOCUMENT NUMBER: 64:19237  
 ORIGINAL REFERENCE NO.: 64:3506g-h,3507a-c  
 TITLE: New azepine derivatives  
 INVENTOR(S): Schindler, Walter; Blattner, Hans  
 PATENT ASSIGNEE(S): J. R. Geigy A.-G.  
 SOURCE: 2 pp.; Addn. to Swiss 383,977 (See Brit. 943,277, CA 61, 1815e)  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 392515		19651015	CH 1964-6915	19640527
PRIORITY APPLN. INFO.:			CH	19640527

GI For diagram(s), see printed CA Issue.

AB When I, where X is a hydrogen, halogen, or low mol. weight alkyl or alkoxy group, and Y hydrogen, halogen, or low mol. weight-alkyl group, and Z a low mol. weight alkyl or alkoxy group is reacted with at least twice the molar amount of an alkali metal compound ROM (II) of low mol. weight alkanol or alkenol

content, where R is a low mol. weight alkyl or alkenyl group, III is obtained. R, X, Y have the above meaning for III. Reacting IV with Br yields I. Compds. of III have enol ether characteristics. Thus, to a solution of 600 g. 5-acetyl-5H-dibenz[b,f]azepine in 1.2 l. CHCl<sub>3</sub> (V) are added with mixing at 5-10° 407 g. Br in 250 ml. of V dropwise. The decolorized solution is cooled to -10° with stirring to give 5-acetyl-10,11-dibromo-10,11-dihydro-5H-dibenz[b,f]azepine (VI), m. 136-8°. To a solution of 135 g. NaOMe in 1 l. distilled MeOH are added 125 g. VI and the mixture refluxed with agitation for 16 hrs., 500 ml. MeOH distilled, and the reaction mixture refluxed another 24 hrs. After cooling, 500 ml. H<sub>2</sub>O is slowly added to give 10-methoxy-5H-dibenz[b,f]azepine, m. 124° (absolute EtOH). In an analogous manner 10-ethoxy-5H-dibenz[b,f]azepine, m. 132-3°, 10-butoxy-5H-dibenz[b,f]azepine, m. 113-14°, 10-methoxy-3,7-dichloro-5H-dibenz[b,f]azepine, m. 182-3°, were prepared

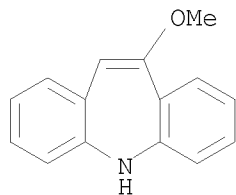
IT 4698-11-7P, 5H-Dibenz[b,f]azepine, 10-methoxy-

RL: PREP (Preparation)

(preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



L22 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1964:411219 CAPLUS  
 DOCUMENT NUMBER: 61:11219  
 ORIGINAL REFERENCE NO.: 61:1815e-g  
 TITLE: Dibenz[b,f]azepines  
 PATENT ASSIGNEE(S): J. R. Geigy A.-G.  
 SOURCE: 4 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
GB 943277		19631204	GB 1960-39270	19601116
CH 376113			CH	
CH 377825			CH	
CH 383977			CH	
DE 1174785			DE	
US 3144440		1964	US	
US 3144441		19640811	US 1962-223300	19620911
US 3144442		19640811	US 1962-223301	19620911
PRIORITY APPLN. INFO.:			CH	19591116

AB New dibenz[b,f]azepines are suitable as intermediate products for the synthesis of antiallergic and psychotherapeutic products. Thus, 407 parts Br in 250 parts CHCl<sub>3</sub> was dropped into a solution of 600 parts 5-acetyl-5H-dibenzo[b,f]azepine in 1200 parts CHCl<sub>3</sub> at 5-10°, while stirring. The decolorized solution was stirred and cooled to -10° until crystallization of the 5-acetyl-10,11-dibromo-10,11dihydro-5H-dibenzo[b,f]azepine took place. It was filtered off by suction and dried in vacuo, m. 136-8°. Also prepared were 5-acetyl-10-bromo-5H-dibenzo[b,f]azepine, m. 109-10°; 10-ethoxy-5H-dibenzo[b,f]azepine, m. 132-3°; 10-methoxy-5H-debenzo[b,f]azepine, m. 124°; 10-butoxy-5H-dibenzo[b,f]azepine, m. 113-14°; 10-methoxy-2,7-dichloro-5H-dibenzo[b,f]azepine, m. 182-3°; 5H-dibenzo[b,f]azepin-10(11H)-one, m. 145-6°; 3,7dichloro-5H-dibenzo[b,f]azepin-10(11H)-one, m. 318-20°; 3,7-di-methyl-5H-dibenzo[b,f]azepin-10(11H)-one; and 10-methoxy-5H-dibenz[b,f]azepine, m. 124°.

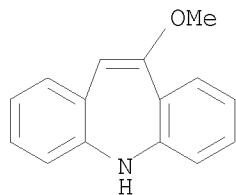
IT 4698-11-7P, 5H-Dibenz[b,f]azepine, 10-methoxy-

RL: PREP (Preparation)

(preparation of)

RN 4698-11-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD